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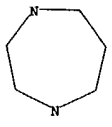

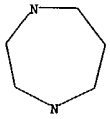

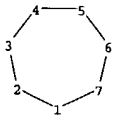

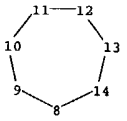

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1 2 3 4 5 6 7 8 9 10 11 12 13 14
ng bonds :
1-2 1-7 2-3 3-4 4-5 5-6 6-7 8-9 8-14 9-10 10-11 11-12 12-13 13-14
act/norm bonds :
1-2 1-7 3-4 4-5 8-9 8-14 10-11 11-12
act bonds :
2-3 5-6 6-7 9-10 12-13 13-14
lated ring systems :
containing 1 : 8 :

ch level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom

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=> d his

     (FILE 'HOME' ENTERED AT 13:55:04 ON 21 AUG 2004)

     FILE 'REGISTRY' ENTERED AT 13:55:19 ON 21 AUG 2004
L1             STRUCTURE UPLOADED
L2             QUE L1
L3           25 S L2
L4          494 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 13:57:20 ON 21 AUG 2004
L5          144 S L4
L6          ANALYZE L5 1- RN HIT :       92 TERMS

     FILE 'REGISTRY' ENTERED AT 13:57:43 ON 21 AUG 2004
L7            1 S 26087-98-9/RN
L8          493 S L4 NOT L7

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L9           36 S L8

=> d l2
L2 HAS NO ANSWERS
L1             STR
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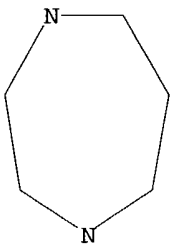

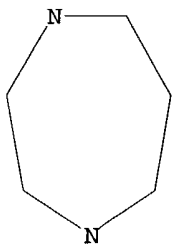


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Structure attributes must be viewed using STN Express query preparation.
L2             QUE  ABB=ON  PLU=ON  L1


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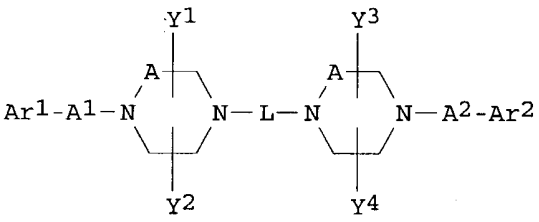
L9 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:380556 CAPLUS
DOCUMENT NUMBER: 135:5625
TITLE: Diabetic remedy containing dipiperazine derivative
INVENTOR(S): Yamaguchi, Hiroshi; Maruta, Katsunori; Nagata, Ryu; Ushiroda, Kantaro; Iwai, Kiyotaka
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036386	A1	20010525	WO 2000-JP8065	20001115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 1999-326751 A 19991117
OTHER SOURCE(S): MARPAT 135:5625
GI



I

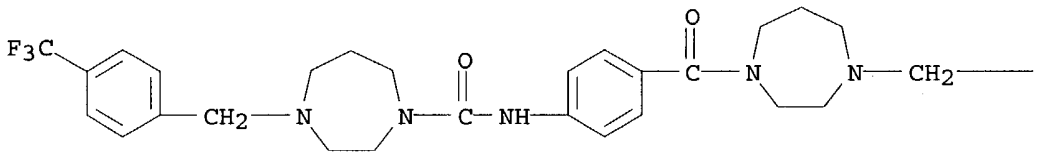
AB A remedy for diabetes contains a dipiperazine derivative represented by formula (I) or a pharmacol. acceptable salt thereof. [wherein Ar1 and Ar2 each represents optionally substituted Ph, naphthyl, or heterocyclyl; A1 and A2 each represents optionally substituted alkylene or carbonyl (provided that not both of A1 and A2 are carbonyl); A represents methylene or ethylene; Y1, Y2, Y3, and Y4 each represents hydrogen or alkyl; L represents -L3-X1-L1-X2-L2-X3-L4-; L3 and L4 each represents carbonyl or sulfonyl; X1 and X3 each represents a single bond, NR1, or O; R1 represents hydrogen or alkyl; X2 represents a single bond, optionally substituted alkylene, heteroarylene, phenylene, or cycloalkylidene, cycloalkylene, divalent aliphatic heterocyclic group, vinylene, ethynylene, S, O, NR2CO, NR3CONR4, NR2CO2, OCO2, O2C, CO, or N(COR5); etc.; R2, R3, R4, and R5 each represents hydrogen or alkyl; and L1 and L2 each represents a single bond, optionally substituted alkylene, vinylene, or phenylene; provided that when X2 is single bond, vinylene, ethynylene, S, O, NR2CO, NR3CONR4, NR2CO2, OCO2, O2C, CO, or N(COR5), L1 or L2 is not a single bond; or when L1 or L2 is vinylene, X1 and X3 are a single bond]. These compds. lower blood sugar level and improve insulin resistance.

Thus, 110 mg N-[4-(1-piperazinylcarbonyl)phenyl]-1-piperazinecarboxamide (preparation given) was dissolved in 6 mL DMF, treated with 195 mg K2CO3 and 270 mg 4-(trifluoromethyl)benzyl bromide, and stirred at 50° for 5 h to give 4-[4-(trifluoromethyl)benzyl]-N-[4-[[4-[4-(trifluoromethyl)benzyl]-1-piperazinyl]carbonyl]phenyl]-1-piperazinecarboxamide (II). II was administered to mice at 3 mg/kg p.o., immediately followed by insulin 3 U/kg s.c. After 4 h, the blood sugar level lowered from 261±92 (control) to 129±43 mg/dL.

IT **340759-02-6P 340759-03-7P 340759-04-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipiperazine derivs. as hypoglycemics and antidiabetics for improving insulin resistance)

RN 340759-02-6 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N-[4-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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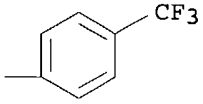
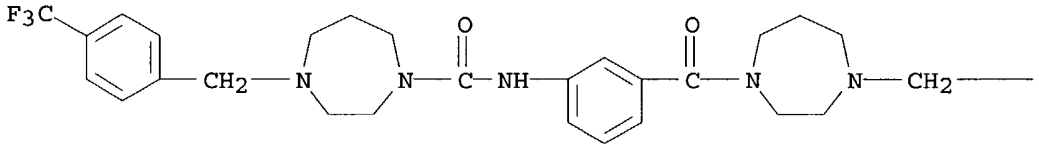
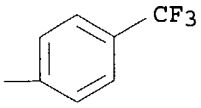


RN 340759-03-7 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N-[3-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

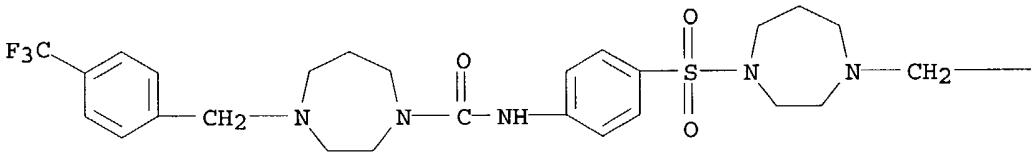


PAGE 1-B



RN 340759-04-8 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N-[4-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]sulfonyl]phenyl]hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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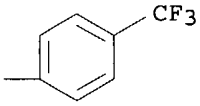

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/934,531

L9 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:772619 CAPLUS
DOCUMENT NUMBER: 133:321908
TITLE: Heteroaryl diazacycloalkanes, their preparation, and their use as nicotinic acetylcholine receptor ligands
INVENTOR(S): Nielsen, Simon Feldbaek; Peters, Dan; Nielsen, Elsebet Ostergaard; Olsen, Gunnar M.
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064885	A1	20001102	WO 2000-DK202	20000419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000041006	A5	20001110	AU 2000-41006	20000419
AU 773830	B2	20040610		
NZ 514021	A	20010928	NZ 2000-514021	20000419
EP 1175416	A1	20020130	EP 2000-920421	20000419
EP 1175416	B1	20030716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543070	T2	20021217	JP 2000-614237	20000419
AT 245153	E	20030815	AT 2000-920421	20000419
US 2002045618	A1	20020418	US 2001-934531	20010823

PRIORITY APPLN. INFO.:
DK 1999-571 A 19990426
DK 1999-1504 A 19991020
WO 2000-DK202 W 20000419

OTHER SOURCE(S): MARPAT 133:321908
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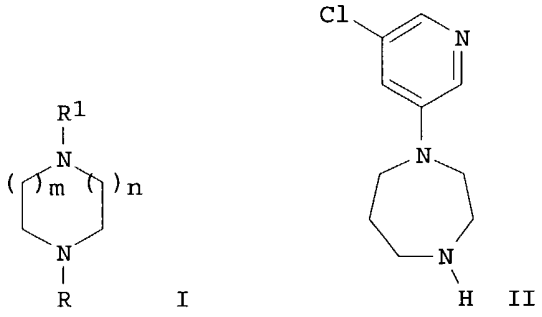


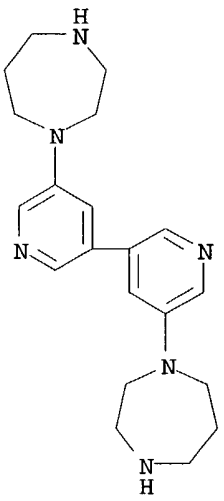
AB The invention relates to novel heteroaryl diazacycloalkane derivs. I and their enantiomers, N-oxides, salts, and/or labeled forms [wherein: n = 1,

2, 3; m = 0, 1, 2; R = H, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aralkyl, alkoxypyridyl, alkenyloxypyridyl, or bridging moiety to form a dimer; R1 = (un)substituted monocyclic 5- or 6-membered heterocyclyl or bicyclic heterocyclyl; or R = R1 = (un)substituted monocyclic 5- or 6-membered heterocyclyl]. The compds. are cholinergic ligands at nicotinic acetylcholine receptors, and may be useful for the treatment of a diversity of diseases and disorders, e.g., those related to the CNS cholinergic system, smooth muscle contraction, the endocrine system, neurodegeneration, inflammation, pain, and substance abuse withdrawal symptoms. A large number of compds., mostly homopiperazine derivs., were prepared and/or claimed. For instance, neat reaction of 3,5-dichloropyridine with homopiperazine at 150° gave title compound II in 40% yield. Similar compds. inhibited binding of 3H-epibatidine to rat brain nicotinic receptors with IC50 values as low as 0.001 μM.

IT **303160-83-0P**, 1-[5-[5-(1-Homopiperazinyl)pyrid-3-yl]pyrid-3-yl]homopiperazine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of heteroaryldiazacycloalkanes as nicotinic acetylcholine receptor ligands)

RN 303160-83-0 CAPLUS

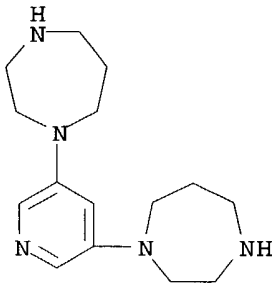
CN 1H-1,4-Diazepine, 1,1'-[3,3'-bipyridine]-5,5'-diylbis[hexahydro- (9CI) (CA INDEX NAME)



IT **303159-55-9P**, 1-(5-Homopiperazino-3-pyridyl)homopiperazine
303160-93-2P, 3,5-Bis(1-homopiperazinyl)pyridine fumaric acid salt
303161-20-8P, 1-[5-[4-(tert-Butoxycarbonyl)homopiperazin-1-yl]-3-pyridyl]homopiperazine fumaric acid salt **303161-46-8P**, 1,4-Bis[[4-(5-Ethoxy-3-pyridyl)-1-homopiperazinyl]methyl]benzene
303161-47-9P, 1,4-Bis[[4-(5-Ethoxy-3-pyridyl)-1-homopiperazinyl]methyl]benzene fumaric acid salt **303161-50-4P**, 1,4-Bis[[4-(6-Chloro-3-pyridazinyl)-1-homopiperazinyl]methyl]benzene
303161-51-5P, 1,4-Bis[[4-(6-Chloro-3-pyridazinyl)-1-homopiperazinyl]methyl]benzene fumaric acid salt **303161-53-7P**, O,O'-Bis-[5-(1-homopiperazinyl)-3-pyridyl]ethylene glycol
303161-54-8P, O,O'-Bis-[5-(1-homopiperazinyl)-3-pyridyl]ethylene glycol fumaric acid salt **303161-64-0P**, 1-[5-[5-(1-

Homopiperazinyl)pyrid-3-yl]pyrid-3-yl]homopiperazine fumaric acid salt
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroaryldiazacycloalkanes as nicotinic acetylcholine receptor ligands)

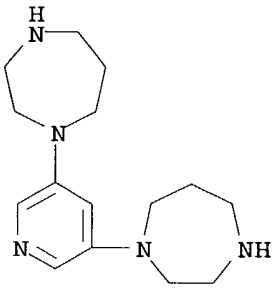
RN 303159-55-9 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(3,5-pyridinediyl)bis[hexahydro- (9CI) (CA INDEX NAME)



RN 303160-93-2 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(3,5-pyridinediyl)bis[hexahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

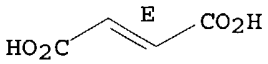
CRN 303159-55-9
CMF C15 H25 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

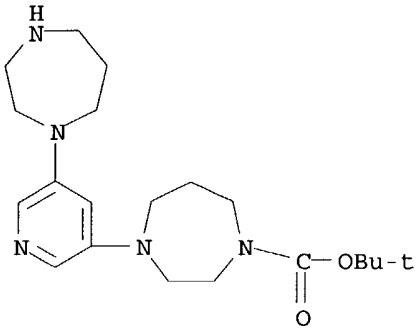


RN 303161-20-8 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-(hexahydro-1H-1,4-diazepin-1-yl)-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester, (2E)-2-butenedioate (1:1)

(9CI) (CA INDEX NAME)

CM 1

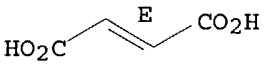
CRN 303161-19-5
CMF C20 H33 N5 O2



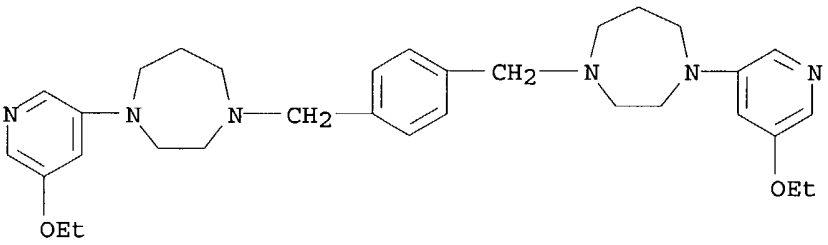
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



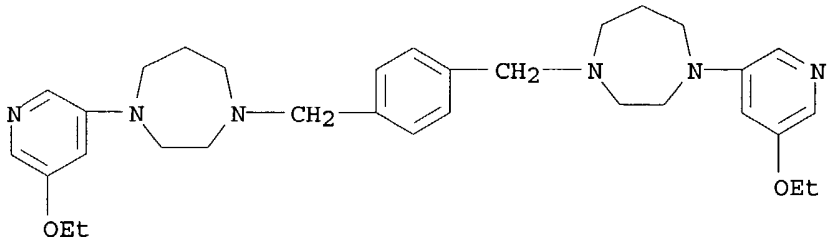
RN 303161-46-8 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[1,4-phenylenebis(methylene)]bis[4-(5-ethoxy-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 303161-47-9 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[1,4-phenylenebis(methylene)]bis[4-(5-ethoxy-3-pyridinyl)hexahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

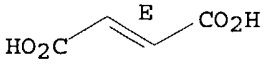
CRN 303161-46-8
CMF C32 H44 N6 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 303161-50-4 CAPLUS
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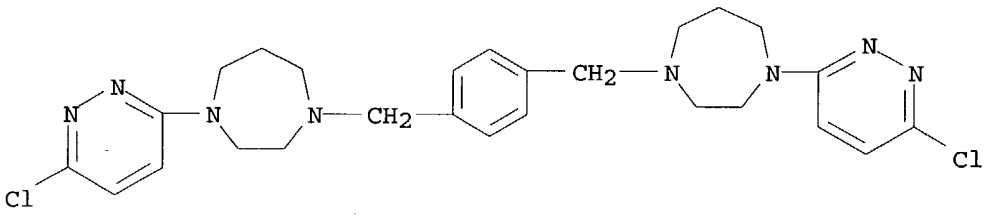
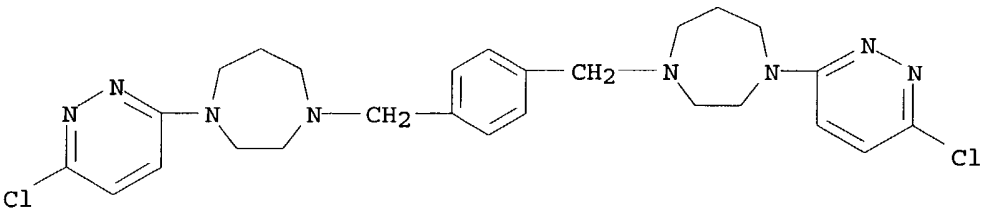


RN 303161-51-5 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[1,4-phenylenebis(methylene)]bis[4-(6-chloro-3-pyridazinyl)hexahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

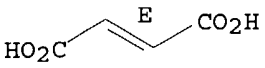
CRN 303161-50-4
CMF C26 H32 Cl2 N8



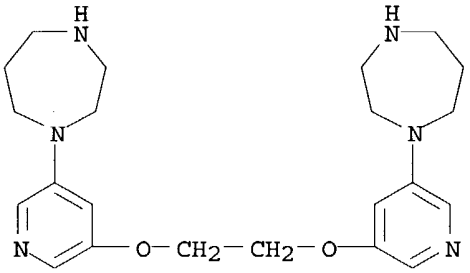
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



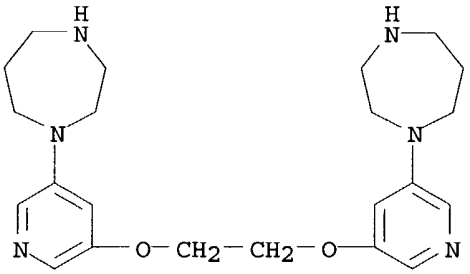
RN 303161-53-7 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[1,2-ethanediylbis(oxy-5,3-pyridinediyl)]bis[hexahydro- (9CI) (CA INDEX NAME)



RN 303161-54-8 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[1,2-ethanediylbis(oxy-5,3-pyridinediyl)]bis[hexahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

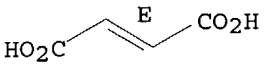
CRN 303161-53-7
CMF C22 H32 N6 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

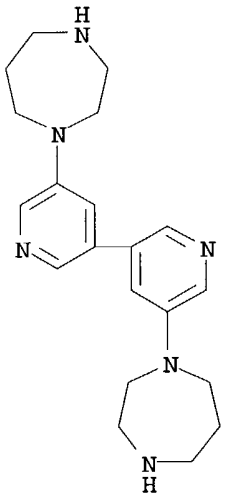
Double bond geometry as shown.



RN 303161-64-0 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[3,3'-bipyridine]-5,5'-diylbis[hexahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

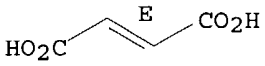
CRN 303160-83-0
CMF C20 H28 N6



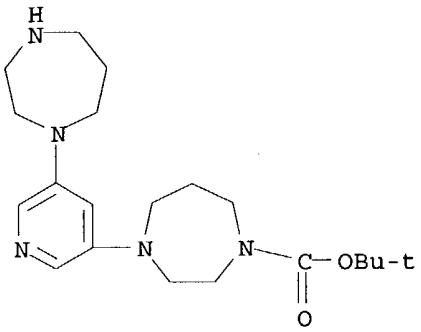
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **303161-19-5**, 1-[5-[4-(tert-Butoxycarbonyl)homopiperazin-1-yl]-3-pyridyl]homopiperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of heteroaryldiazacycloalkanes as nicotinic acetylcholine receptor ligands)
RN 303161-19-5 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-(hexahydro-1H-1,4-diazepin-1-yl)-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:557700 CAPLUS
DOCUMENT NUMBER: 133:261094
TITLE: Potent cyclic monomeric and dimeric peptide inhibitors of VLA-4 (α4β1 integrin)-mediated cell adhesion based on the Ile-Leu-Asp-Val tetrapeptide
AUTHOR(S): Dutta, Anand S.; Crowther, Mandy; Gormley, James J.; Hassall, Lorraine; Hayward, Christopher F.; Gellert, Paul R.; Kittlety, Rod S.; Alcock, Peter J.; Jamieson, Alec; Moores, Julie M.; Rees, Amanda; Wood, Linda J.; Reilly, Christopher F.; Haworth, Duncan
CORPORATE SOURCE: AstraZeneca, Macclesfield, SK10 4TG, UK
SOURCE: Journal of Peptide Science (2000), 6(7), 321-341
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

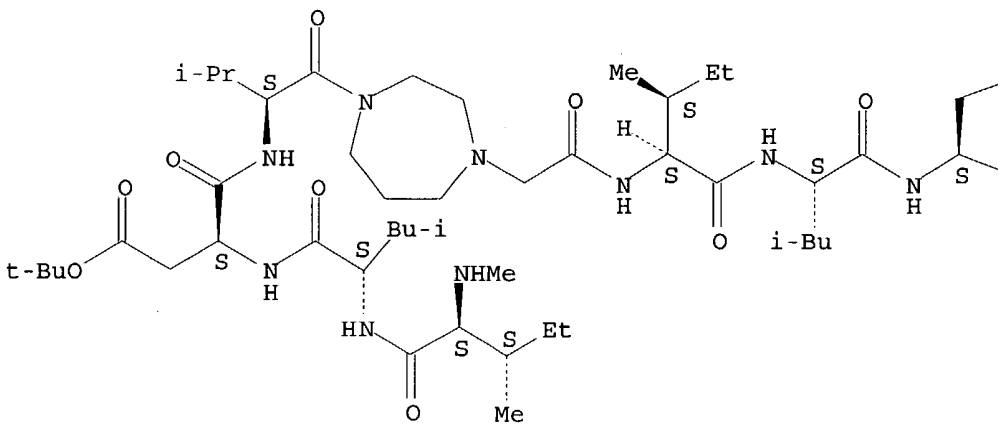
AB Potent monomeric and dimeric cyclic peptide very late antigen-4 (VLA-4) inhibitors have been designed based on a tetrapeptide (Ile-Leu-Asp-Val) sequence present in a 25-amino acid peptide (CS-1) reported in the literature. The peptides, synthesized by the SPPS techniques, were evaluated in the in vitro cell adhesion assays and in the in vivo inflammation models. The N- to C-terminal cyclic peptides such as cyclo(Ile-Leu-Asp-Val-NH-(CH2)2-S-(CH2)2-CO) and cyclo(MeIle-Leu-Asp-Val-D-Ala-D-Ala), monomeric and dimeric peptides containing piperazine (Pip) or homopiperazine (hPip) residues as linking groups, e.g. cyclo(MeIle-Leu-Asp-Val-Pip-CH2CO-NH-(CH2)2-S-CH2-CO) and cyclo(MeIle-Leu-Asp-Val-hPip-CH2CO-MeIle-Leu-Asp-Val-hPip-CH2CO) and cyclic peptides containing an amide bond between the side chain amino group of an amino acid such as Lys and the C-terminal Val carboxyl group, e.g. Ac-cyclo(D-Lys-D-Ile-Leu-Asp-Val) and β-Ala-cyclo(D-Lys-D-Leu-Leu-Asp-Val) were more potent than CS-1 in inhibiting the adhesion of the VLA-4-expressing MOLT-4 cells to fibronectin. The more potent compds. were highly selective and did not affect U937 cell adhesion to fibronectin (VLA-5), PMA-differentiated U937 cell adhesion to intercellular cell adhesion mol.-1-expressing Chinese hamster ovary cells (LFA-1) and ADP-induced platelet aggregation (GPIIb/IIIa). A number of the more potent compds. inhibited ovalbumin-induced delayed type hypersensitivity in mice and some were 100-300 times more potent (ED50 = 0.003-0.009 mg/kg/day, s.c.) than CS-1. Two peptides, Ac-cyclo(D-Lys-D-Ile-Leu-Asp-Val) and cyclo(CH2CO-Ile-Leu-Asp-Val-Pip-CH2CO-Ile-Leu-Asp-Val-Pip), were formulated in poly(DL-lactide-co-glycolide) depots and the release profile was investigated in vitro over a 30-day period.

IT **298209-51-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(potent cyclic monomeric and dimeric peptide inhibitors of VLA-4 α4β1 integrin-mediated cell adhesion to fibronectin based on Ile-Leu-Asp-Val tetrapeptide in relation to anti-inflammatory activity and sustained-release formulation)

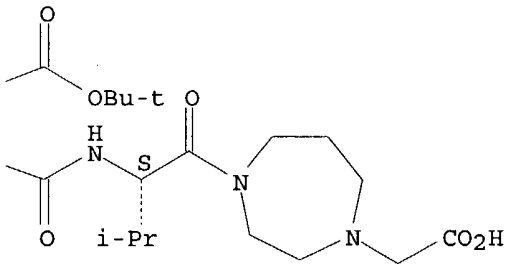
RN 298209-51-5 CAPLUS
CN L-α-Asparagine, N-methyl-L-isoleucyl-L-leucyl-L-α-aspartyl-L-valylhexahydro-1H-1,4-diazepine-1-acetyl-L-isoleucyl-L-leucyl-N-[(1S)-1-[[4-(carboxymethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylpropyl]-, 3,84-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:96024 CAPLUS
DOCUMENT NUMBER: 132:137409
TITLE: Preparation of tryptase inhibitors
INVENTOR(S): Rice, Ken Duane; Dener, Jeffrey Mark; Gangloff, Anthony Robert; Kuo, Elaine Yee-lin
PATENT ASSIGNEE(S): AXYS Pharmaceuticals, Inc., USA
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. Ser. No. 312,269, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6022969	A	20000208	US 1995-522157	19950914
CA 2200561	AA	19960328	CA 1995-2200561	19950914
CN 1160398	A	19970924	CN 1995-195191	19950914
HU 77770	A2	19980828	HU 1997-2059	19950914
ZA 9508028	A	19960418	ZA 1995-8028	19950922
IL 115405	A1	20020725	IL 1995-115405	19950922
HR 950499	B1	20030430	HR 1995-950499	19950922
TW 442478	B	20010623	TW 1995-84110031	19950926
LT 4234	B	19971027	LT 1997-65	19970410
LV 11865	B	19980120	LV 1997-70	19970422
US 6211228	B1	20010403	US 1999-280227	19990329

PRIORITY APPLN. INFO.: US 1994-312269 B2 19940923
US 1995-522157 A3 19950914

OTHER SOURCE(S): MARPAT 132:137409

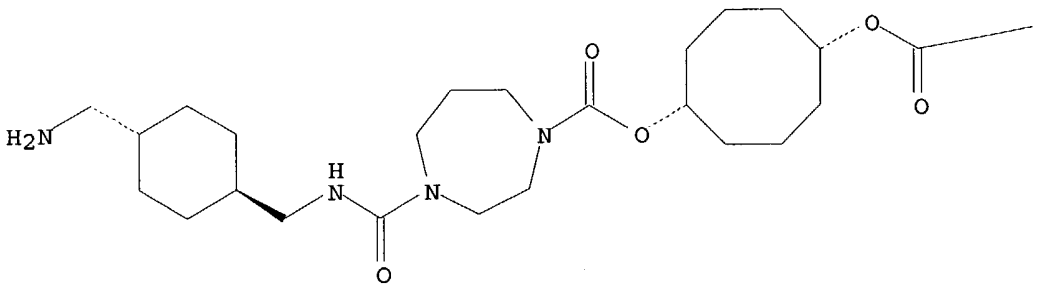
AB (ZX1X2X3X4X5)2Y [X1 = (oxa)alkylene, phenylene-interrupted alkylene, etc.; X2,X4 = CO, CO2, OCO2, CONH, etc.; X3 = alkylene, X9X10, X10X9, etc.; X5,X9 = alkylene; X10,Y = (hetero)cycloalkylene; Z = NH2, NHC(:NH)NH2, C(:NH)NH2] were prepared Thus, trans-cyclohexanedimethanol was bisesterified by OCNCH2CO2Et and the saponified product bisamidated by 4-(H2N)C6H4CH2NH2 to give, after NCNH2 N-acylation, Y[CH2O2CNHCH2CONHCH2C6H4[NHC(:NH)NH2]-4]2 (Y = trans-1,4-cyclohexylene). Data for biol. activity of title inhibitors were given.

IT **256649-27-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tryptase inhibitors)

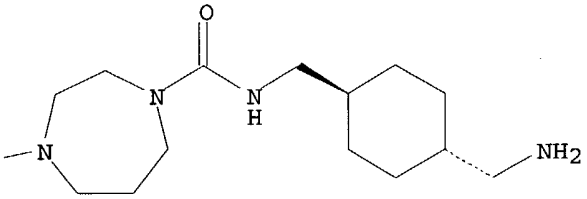
RN 256649-27-1 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[[[[trans-4-(aminomethyl)cyclohexyl]methyl]amino]carbonyl]hexahydro-, cis-1,5-cyclooctanediyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 1-B



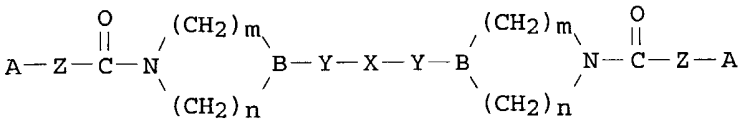
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:549256 CAPLUS
DOCUMENT NUMBER: 131:170370
TITLE: Preparation of N-acyl cyclic amine compounds as inhibitors of IgE production
INVENTOR(S): Ishiwata, Hiroyuki; Sato, Seiichi; Kabeya, Mototsugu; Oda, Soichi; Hattori, Yukio; Suda, Makoto; Shibasaki, Manabu; Nakao, Hiroshi; Nagoya, Takao
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

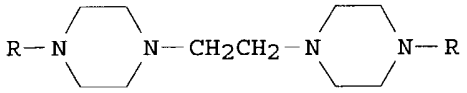
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942446	A1	19990826	WO 1999-JP659	19990216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2320971	AA	19990826	CA 1999-2320971	19990216
AU 9924408	A1	19990906	AU 1999-24408	19990216
AU 747815	B2	20020523		
BR 9908105	A	20001017	BR 1999-8105	19990216
EP 1057815	A1	20001206	EP 1999-903925	19990216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 505912	A	20020927	NZ 1999-505912	19990216
CN 1114591	B	20030716	CN 1999-803094	19990216
RU 2220140	C2	20031227	RU 2000-124097	19990216
NO 2000004092	A	20000816	NO 2000-4092	20000816
US 2003096828	A1	20030522	US 2002-173670	20020619
US 6645957	B2	20031111		

PRIORITY APPLN. INFO.:	JP 1998-37650	A	19980219
	WO 1999-JP659	W	19990216
	US 2000-622586	A3	20000821

OTHER SOURCE(S): MARPAT 131:170370
GI

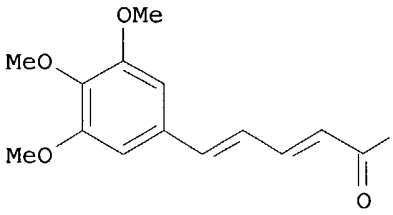


I



II

Q=



AB Cyclic amine amides such bis(N-acylpiperazine), bis(N-acylpiperidine), and bis(N-acyl-1,4-diazepine) compds. represented by general formula [I; wherein A represents an optionally substituted alicyclic, aromatic, or heterocyclic compound; B represents nitrogen or CH; X represents optionally substituted lower alkylene or optionally substituted divalent residue of alicyclic, aromatic, or heterocyclic compound; Y represents a single bond, lower alkylene, NH, lower alkylimino; Z represents CH:CH, C.tplbond.C, (CH:CH)2, C.tplbond.CCH:CH, CH:CHC.tplbond.C, or an optionally substituted divalent residue of benzene, pyridine, pyrimidine, or pyrazine; and m and n are each an integer of 1 to 4] are prepared Because of having an excellent IgE antibody production inhibitory effect, these compds. are useful as antiallergic agents for the treatment of allergic immune diseases such as asthma, atopic dermatitis, allergic rhinitis, inflammatory colon diseases, contact skin diseases, and allergic eye diseases. Thus, (E,E)-5-(3,4,5-trimethoxyphenyl)-2,4-pentadienoic acid was treated with oxalyl chloride in DMF /CH2Cl2 at room temperature for 30 min and then condensed with 1,3-bis(piperazin-1-yl)propane (II; R = H) tetrahydrochloride in the presence of diisopropylethylamine in CH2Cl2 to give II (R = Q), which at 10-6 M inhibited by 100% the production of IgE in B cell from mouse (Balb/C) spleen.

IT **239066-06-9P 239066-07-0P 239066-08-1P**
239066-09-2P 239066-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl cyclic amine compds. as inhibitors of IgE production for treatment and prevention of allergic immune diseases)

RN 239066-06-9 CAPLUS

CN 1H-1,4-Diazepine, 1,1'-(1,2-ethanediyl)bis[4-[(2E,4E)-5-[3,5-dimethoxy-4-(1-methylethoxy)phenyl]-1-oxo-2,4-pentadienyl]hexahydro- (9CI) (CA INDEX NAME)

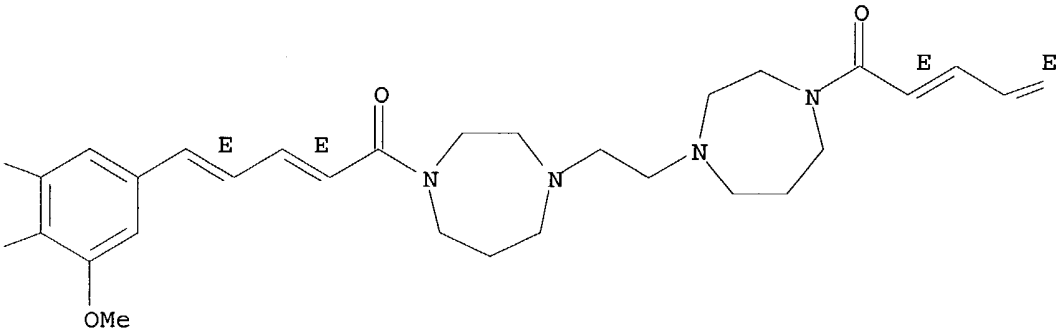
Double bond geometry as shown.

PAGE 1-A

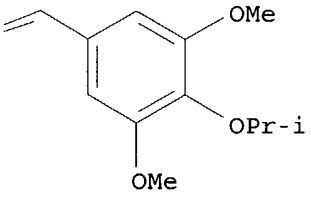
MeO

i-PrO

PAGE 1-B



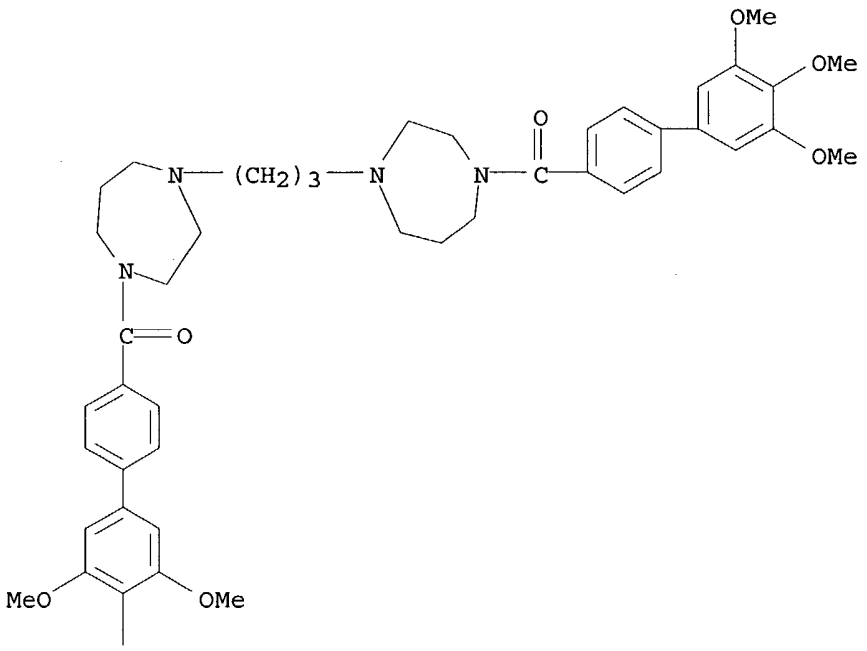
PAGE 1-C



RN 239066-07-0 CAPLUS

CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[hexahydro-4-[(3',4',5'-trimethoxy[1,1'-biphenyl]-4-yl)carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

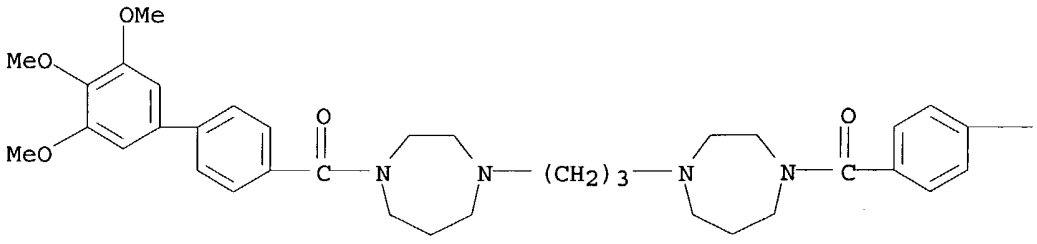


PAGE 2-A

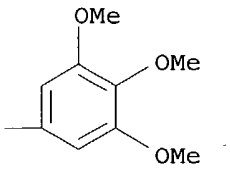
●2 HCl

RN 239066-08-1 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[hexahydro-4-[(3',4',5'-trimethoxy[1,1'-biphenyl]-4-yl)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



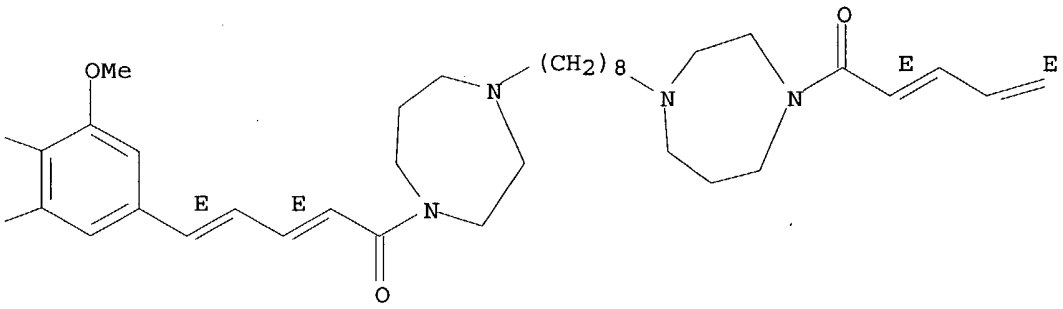
RN 239066-09-2 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,8-octanediyl)bis[hexahydro-4-[(2E,4E)-1-oxo-5-(3,4,5-trimethoxyphenyl)-2,4-pentadienyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

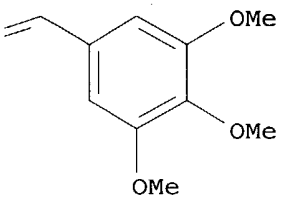
PAGE 1-A

● 2 HCl

PAGE 1-B



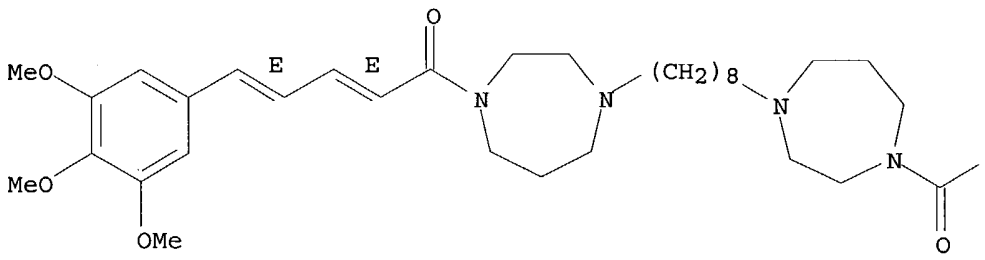
PAGE 1-C



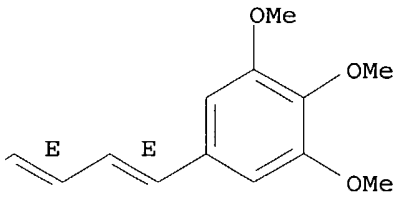
RN 239066-10-5 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,8-octanediyl)bis[hexahydro-4-[(2E,4E)-1-oxo-5-(3,4,5-trimethoxyphenyl)-2,4-pentadienyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

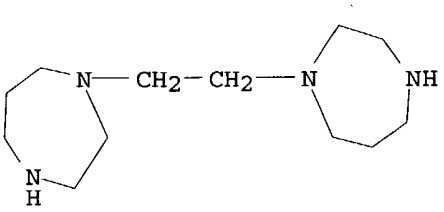
PAGE 1-A



PAGE 1-B

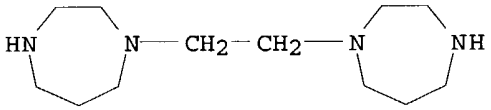


IT **239066-61-6P**, 1,1'-Ethylene(hexahydro-1,4-diazepine) tetrahydrochloride **239066-62-7P**, 1,1'-Ethylene(hexahydro-1,4-diazepine) **239066-63-8P 239066-64-9P**
239066-65-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-acyl cyclic amine compds. as inhibitors of IgE production for
treatment and prevention of allergic immune diseases)
RN 239066-61-6 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,2-ethanediyl)bis[hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

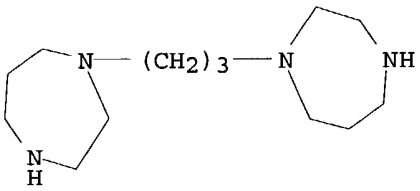


●4 HCl

RN 239066-62-7 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,2-ethanediyl)bis[hexahydro- (9CI) (CA INDEX NAME)

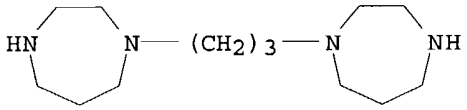


RN 239066-63-8 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

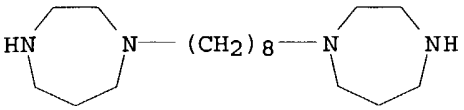


●4 HCl

RN 239066-64-9 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[hexahydro- (9CI) (CA INDEX NAME)



RN 239066-65-0 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,8-octanediyl)bis[hexahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:396485 CAPLUS
DOCUMENT NUMBER: 131:223011
TITLE: SAR of a series of related peptidomimetics derived from a novel hematoregulatory peptide, SK&F 107647
AUTHOR(S): Callahan, J. F.; Alberts, D.; Burgess, J. L.; Chen, L.; Heerding, D.; Huffman, W. F.; King, A. G.; LoCastro, S.; Pelus, L. M.; Bhatnagar, P. K.
CORPORATE SOURCE: Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
SOURCE: Peptides: Frontiers of Peptide Science, Proceedings of the American Peptide Symposium, 15th, Nashville, June 14-19, 1997 (1999), Meeting Date 1997, 192-193. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Kluwer: Dordrecht, Neth.
CODEN: 67UCAR
DOCUMENT TYPE: Conference
LANGUAGE: English

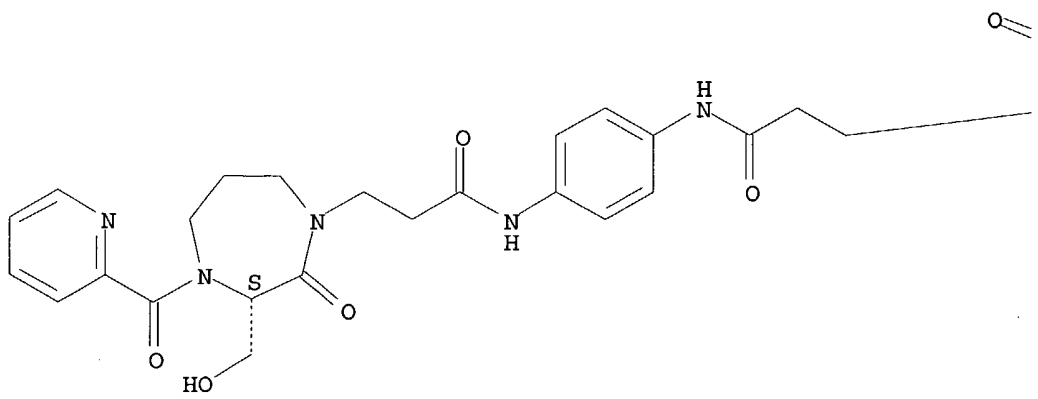
AB A structure-activity relationships study of peptidomimetics derived from a novel hematoregulatory peptide, SK&F 107647 is presented. The data implies that the backbone amide bonds of these peptidomimetics may interact with the putative receptors.

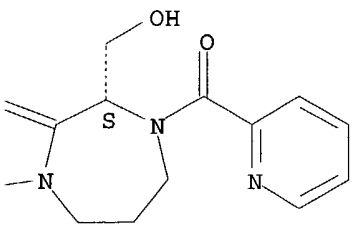
IT **243860-13-1P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(SAR of a series of related peptidomimetics derived from a novel hematoregulatory peptide, SK&F 107647)

RN 243860-13-1 CAPLUS
CN 1H-1,4-Diazepine-1-propanamide, N,N'-1,4-phenylenebis[hexahydro-3-(hydroxymethyl)-2-oxo-4-(2-pyridinylcarbonyl)-, (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





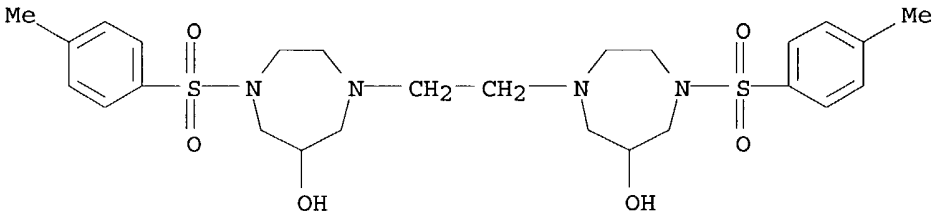
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:581526 CAPLUS
DOCUMENT NUMBER: 129:245127
TITLE: Synthesis of novel bis(mesocyclic) polyamines
AUTHOR(S): Hu, Min; Liu, Yong; Wu, Cheng-Tai
CORPORATE SOURCE: Department of Chemistry, Wuhan University, Wuhan, 430072, Peop. Rep. China
SOURCE: Hecheng Huaxue (1998), 6(2), 150-154
CODEN: HEHUE2; ISSN: 1005-1511
PUBLISHER: Hecheng Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: English

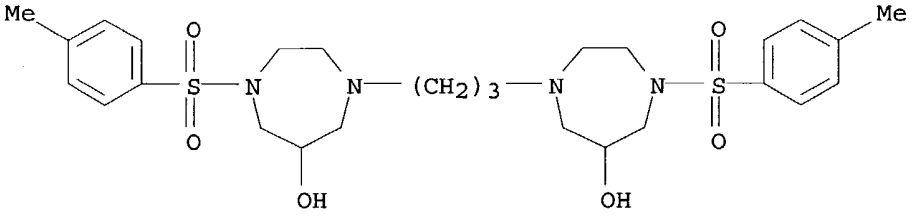
AB The synthesis of some hydroxyl group bearing bis(mesocyclic)polyamines was reported. First, five tosyl bearing bis(mesocyclic)polyamines were obtained by the reaction of N-tosyl-3-hydroxyl-1,5-diazacycloheptane with five difunctional group compds. in anhydrous acetonitrile. Then detosylation was made with HBr/HOAc to give the final bridged bis(mesocyclic) polyamine hydrobromides. All the new compds. were characterized by IR, 1H NMR, MS or elemental anal.

IT **213275-82-2P 213275-83-3P 213275-84-4P**
213275-85-5P 213275-86-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of novel bis(mesocyclic) polyamines)

RN 213275-82-2 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-(1,2-ethanediyl)bis[hexahydro-4-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

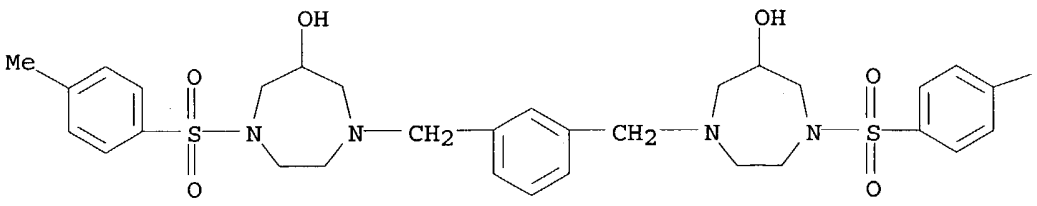


RN 213275-83-3 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-(1,3-propanediyl)bis[hexahydro-4-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 213275-84-4 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-[1,3-phenylenebis(methylene)]bis[hexahydro-4-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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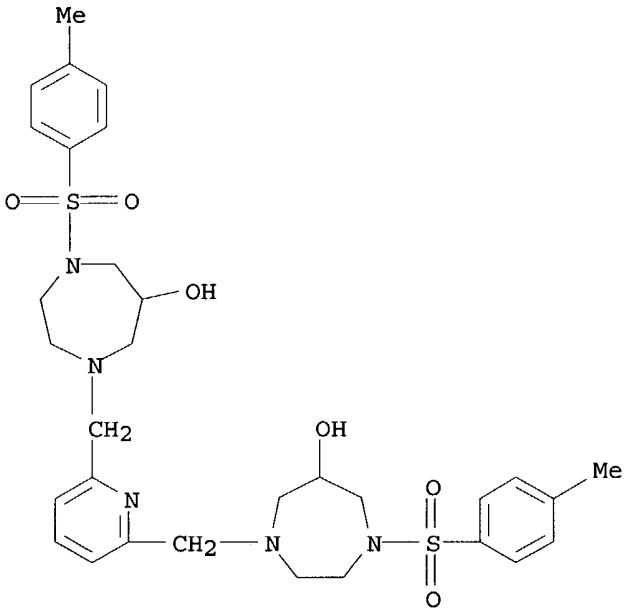
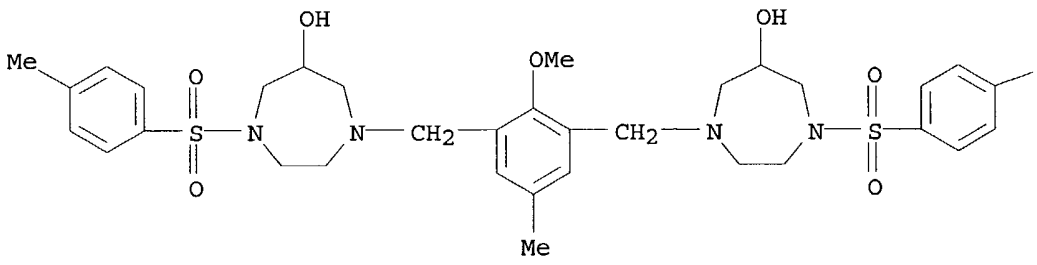
Me

RN 213275-85-5 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-[2,6-pyridinediylbis(methylene)]bis[hexahydro-4-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 213275-86-6 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-[(2-methoxy-5-methyl-1,3-phenylene)bis(methylene)]bis[hexahydro-4-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

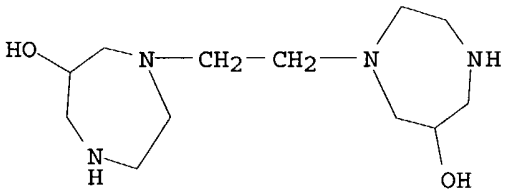
PAGE 1-A



PAGE 1-B

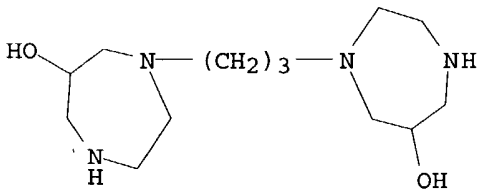
IT **213275-76-4P 213275-77-5P 213275-78-6P**
213275-79-7P 213275-80-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of novel bis(mesocyclic) polyamines)

RN 213275-76-4 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-(1,2-ethanediyl)bis[hexahydro-, tetrahydrobromide (9CI) (CA INDEX NAME)



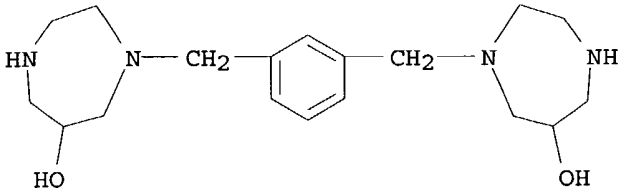
●4 HBr

RN 213275-77-5 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-(1,3-propanediyl)bis[hexahydro-, tetrahydrobromide (9CI) (CA INDEX NAME)



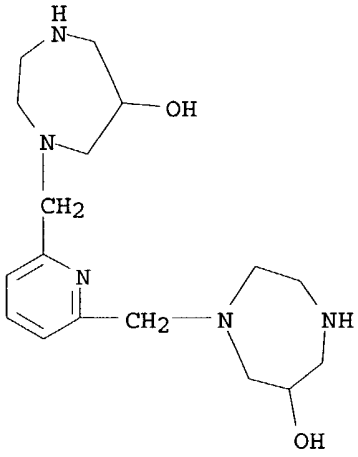
●4 HBr

RN 213275-78-6 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-[1,3-phenylenebis(methylene)]bis[hexahydro-, tetrahydrobromide (9CI) (CA INDEX NAME)



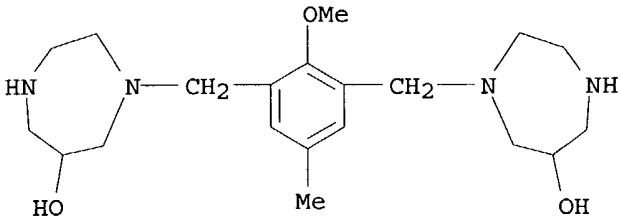
●4 HBr

RN 213275-79-7 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-[2,6-pyridinediylbis(methylene)]bis[hexahydro-, tetrahydrobromide (9CI) (CA INDEX NAME)



●4 HBr

RN 213275-80-0 CAPLUS
CN 1H-1,4-Diazepin-6-ol, 1,1'-[(2-methoxy-5-methyl-1,3-phenylene)bis(methylene)]bis[hexahydro-, tetrahydrobromide (9CI) (CA INDEX NAME)

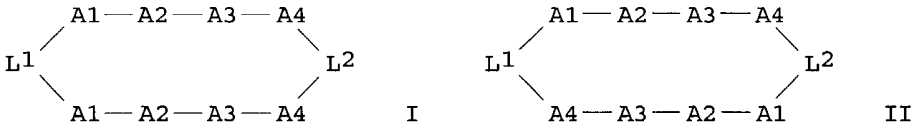


● 4 HBr

L9 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:204132 CAPLUS
DOCUMENT NUMBER: 126:199836
TITLE: Cyclic dimeric peptide inhibitors of fibronectin for treatment of rheumatoid arthritis, asthma, and multiple sclerosis.
INVENTOR(S): Dutta, Anand Swaroop
PATENT ASSIGNEE(S): Zeneca Limited, UK; Dutta, Anand Swaroop
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9702289	A1	19970123	WO 1996-GB1580	19960702
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
AU 9663119	A1	19970205	AU 1996-63119	19960702
EP 842195	A1	19980520	EP 1996-922132	19960702
R: CH, DE, FR, GB, IT, LI				
JP 11508583	T2	19990727	JP 1996-504917	19960702
ZA 9605738	A	19970106	ZA 1996-5738	19960705
US 6034057	A	20000307	US 1998-981680	19980106

PRIORITY APPLN. INFO.: GB 1995-13798 A 19950706
GB 1996-11470 A 19960601
WO 1996-GB1580 W 19960702
OTHER SOURCE(S): MARPAT 126:199836
GI



AB Cyclic dimeric peptides I and II (A1 = D- or L-Ile, D- or L-Leu, or analogs; A2 = Leu or analogs; A3 = Asp, Glu, or analogs; A4 = Val or analogs; L1 and L2 independently represent linking moieties to form a cyclic peptide) or their salts were prepared Thus, II (A1-A2-A3-A4 = Ile-Leu-Asp-Val; L1 = L2 = piperazinyl-1-yl-acetyl) was prepared by the solid phase method on 2-chlorotrityl chloride resin using HBTU and diisopropylethylamine for peptide coupling and cyclization of the linear peptides. The cyclic dipeptides I and II inhibit the interaction of vascular cell adhesion mol.-1 (VCAM-1) and fibronectin with integrin very late antigen 4 and are claimed for treatment of rheumatoid arthritis, asthma or multiple sclerosis (no data).

IT **187618-57-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic dimeric peptide inhibitors of fibronectin for

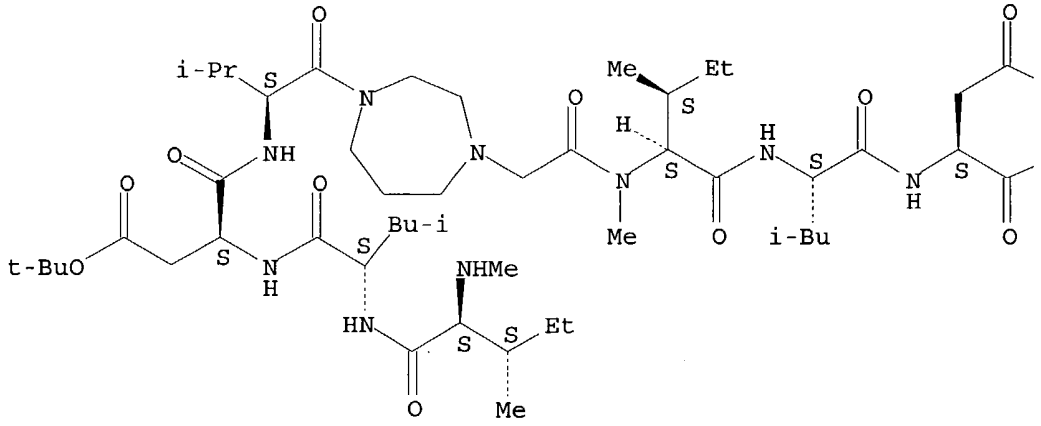
treatment of rheumatoid arthritis, asthma, and multiple sclerosis)

RN 187618-57-1 CAPLUS

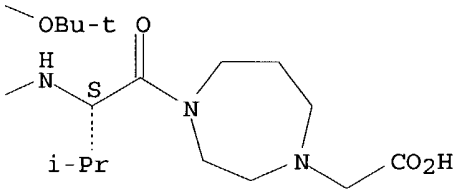
CN L-α-Asparagine, N-methyl-L-isoleucyl-L-leucyl-L-α-aspartyl-L-valylhexahydro-1H-1,4-diazepine-1-acetyl-N-methyl-L-isoleucyl-L-leucyl-N-[(1S)-1-[[4-(carboxymethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylpropyl]-, 3,8-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L9 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:446461 CAPLUS
DOCUMENT NUMBER: 125:114691
TITLE: Preparation of heterocyclic compound mast cell tryptase inhibitors
INVENTOR(S): Rice, Ken D.; Dener, Jeffrey M.; Gangloff, Anthony R.; Kuo, Elaine Yee-lin
PATENT ASSIGNEE(S): Arris Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9609297	A1	19960328	WO 1995-US11814	19950914
W: AU, BY, CA, CN, CZ, EE, FI, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RU, SG, SI, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2200561	AA	19960328	CA 1995-2200561	19950914
AU 9537180	A1	19960409	AU 1995-37180	19950914
AU 694275	B2	19980716		
EP 782571	A1	19970709	EP 1995-934993	19950914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1160398	A	19970924	CN 1995-195191	19950914
JP 10506390	T2	19980623	JP 1995-511002	19950914
HU 77770	A2	19980828	HU 1997-2059	19950914
RU 2159229	C2	20001120	RU 1997-106347	19950914
EE 3525	B1	20011015	EE 1997-89	19950914
PL 183552	B1	20020628	PL 1995-319587	19950914
ZA 9508028	A	19960418	ZA 1995-8028	19950922
IL 115405	A1	20020725	IL 1995-115405	19950922
HR 950499	B1	20030430	HR 1995-950499	19950922
TW 442478	B	20010623	TW 1995-84110031	19950926
FI 9701171	A	19970320	FI 1997-1171	19970320
NO 9701305	A	19970506	NO 1997-1305	19970320
LT 4234	B	19971027	LT 1997-65	19970410
LV 11865	B	19980120	LV 1997-70	19970422
PRIORITY APPLN. INFO.:			US 1994-312269 A	19940923
			WO 1995-US11814 W	19950914

OTHER SOURCE(S): MARPAT 125:114691

AB The title compds. (ZX1X2X3X4X5)2Y [I; Z = amino, guanidino, amidino; Y = (un)substituted cycloalkylene or heterocycloalkylene; X1 = (un)substituted alkylene, (un)substituted oxaalkylene; X2, X4 = CO, CO2, O2C, (un)substituted CONH, etc.; X3 = (un)substituted alkylene, etc; X5 = (un)substituted alkylene], which are effective for the prevention and treatment of mast cell-mediated inflammatory disorders (e.g., asthma, arthritis, allergic rhinitis, etc.) via the inhibition of mast cell tryptase, are prepared and I-containing formulations claimed. Thus, cis-1,5-cyclooctylene bis[4-(4-guanidinophenylacetyl)-1-piperazinecarboxylate] was prepared and demonstrated a Ki of 0.00047 μM in a tryptase inhibition assay.

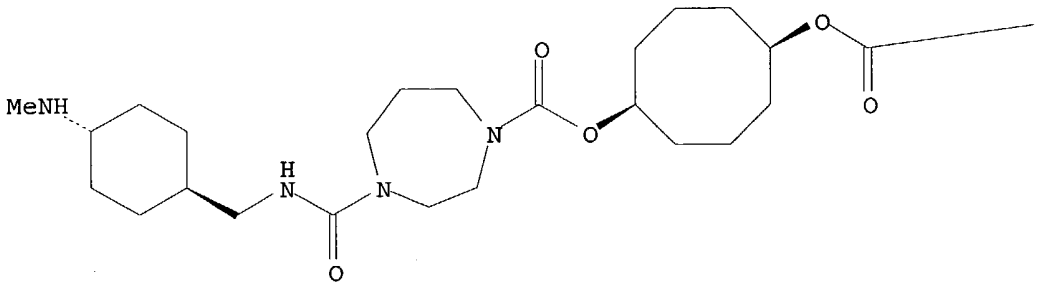
IT **178972-47-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compound mast cell tryptase inhibitors)

RN 178972-47-9 CAPLUS

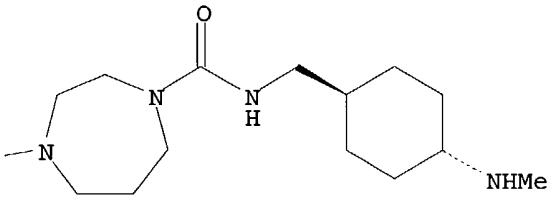
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[[[[4-(methylamino)cyclohexyl]methyl]amino]carbonyl]-, 1,5-cyclooctanediyl ester, [1α(trans),5α(trans)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



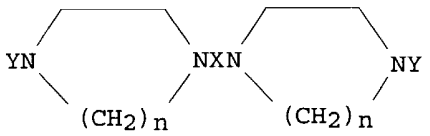
PAGE 1-B



L9 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:2 CAPLUS
DOCUMENT NUMBER: 124:55983
TITLE: Preparation of bis(cyclic diamines) as pH buffer agents
INVENTOR(S): Nagira, Kazuhiko; Murakami, Hironori
PATENT ASSIGNEE(S): Dojin Kagaku Kenkyusho Kk, Japan; Shingijutsu Kaihatsu Jigyodan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07203956	A2	19950808	JP 1993-5571	19930118
PRIORITY APPLN. INFO.:			JP 1993-5571	19930118

OTHER SOURCE(S): MARPAT 124:55983
GI



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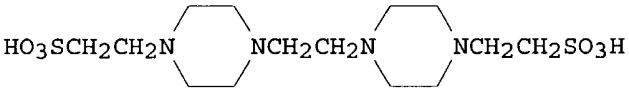
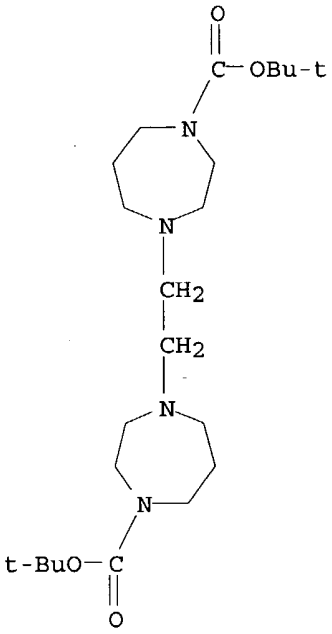


II

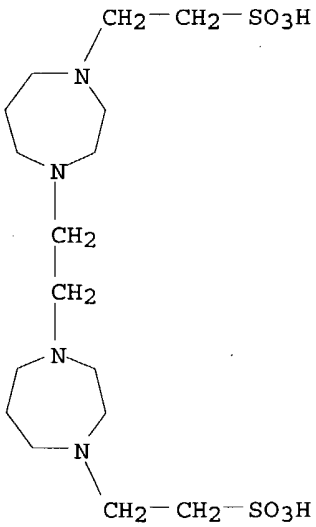
AB The title compds. I [n = 2 or 3; X = alkylene, etc.; Y = carboxyalkyl, etc.] are prepared Human-human hybridoma HB4C5 cells were cultured in a serum-free medium containing pH buffer agents. The cell growth and antibody production, using bispiperazine II (preparation given), were greater than when 2-[4-(2-hydroxyethyl)-1-piperazinyl]ethanesulfonic acid (HEPES) was used.

IT **171889-44-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bis(cyclic diamines) as pH buffer agents)

RN 171889-44-4 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4,4'-(1,2-ethanediyl)bis[hexahydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



IT **171889-38-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of bis(cyclic diamines) as pH buffer agents)
RN 171889-38-6 CAPLUS
CN 1H-1,4-Diazepine-1-ethanesulfonic acid, 4,4'-(1,2-ethanediyl)bis[hexahydro- (9CI) (CA INDEX NAME)

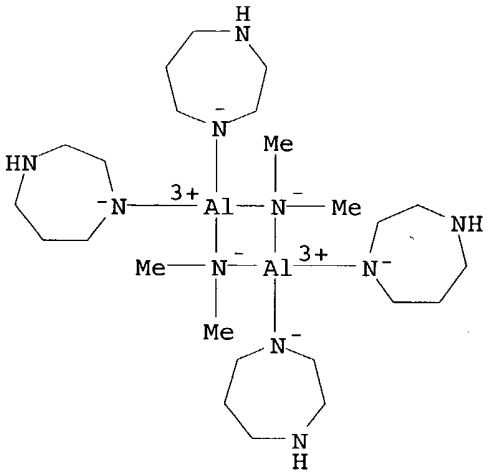


L9 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:903125 CAPLUS
DOCUMENT NUMBER: 124:117388
TITLE: 27Al and 13C NMR Relaxation Studies in Aminoalanes
AUTHOR(S): Watkins, Charles L.; Krannich, Larry K.; Schauer, Steven J.
CORPORATE SOURCE: Department of Chemistry, University of Alabama, Birmingham, AL, 35294, USA
SOURCE: Inorganic Chemistry (1995), 34(24), 6228-30
CODEN: INOCAJ; ISSN: 0020-1669
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 27Al NMR relaxation data have been obtained for the three series [Me2AlR']2, [R2AlNMe2]2, and Me3Al·R'H, where R' = NMe2, NEt2, NPrn2, NPri2,NBun2, NBui2, NC4H8, NC5H10, NC6H12, NC5H11N, NPh2, and NBzl2; and R = Me, Prn, Bun, and Bui. For the aminoalane series [R2AlNMe2]2, 13C T1 and NOE data have also been determined for the NMe2 group. Within each series, the 27Al NMR half-height linewidth, ν1/2 increases in each series as the R or R' groups become larger or more sterically demanding. A linear correlation of ν1/2 with molar volume is demonstrated for the [Me2AlR']2 and Me3Al·R'H series. For the [R2AlNMe2]2 series, substitution of the alkyl group on the aluminum atom seems to have a more complicated effect on the 27Al NMR relaxation rate. Variation temperature 27Al NMR linewidth and 13C NMR T1 and NOE data indicate, however, that there exists a single effective correlation time for mol. motion and relaxation for each member in the [R2AlNMe2]2 aminoalane series. The 27Al and 13C NMR relaxation results are compared with those previously reported for tertiary alkylaluminum compds. with similar R groups.

IT **173029-24-8**
RL: PRP (Properties)
(27Al and 13C NMR relaxation in aminoalanes)

RN 173029-24-8 CAPLUS
CN Aluminum, tetrakis(hexahydro-1H-1,4-diazepinato-N1)bis[μ-(N-methylmethanaminato)]di- (9CI) (CA INDEX NAME)



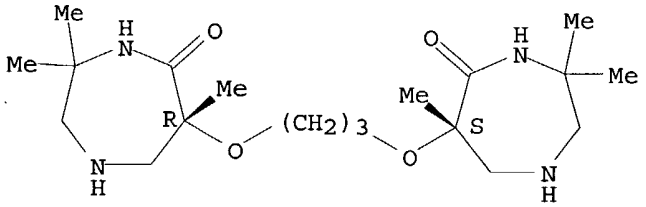
L8 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:448370 CAPLUS
DOCUMENT NUMBER: 122:229364
TITLE: Synthesis and Metal Complexation Reactions of Bis-Dioxocyclams from Photochemical Reaction of Bis-Chromium Alkoxycarbene Complexes with Imidazolines
AUTHOR(S): Dumas, Stephane; Lastra, Elena; Hegedus, Louis S.
CORPORATE SOURCE: Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA
SOURCE: Journal of the American Chemical Society (1995), 117(12), 3368-79
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Bis-Cr alkoxycarbene complexes, bridged via the alkoxy groups, underwent photochem. cycloaddn. to protected imidazolines to give protected bis-azapenams. Deprotection followed by treatment with acid produced bis-dioxocyclams bridged top and bottom with four-, five-, six-, and twelve-atom α,ω-diol linkages. These bis-dioxocyclam ligands formed mono- and bis-Ni(II) complexes. The five-atom bridged system (-O(CH2)3O-) was characterized by x-ray crystallog. and had a number of unusual features.

IT **161806-57-1P 161806-58-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

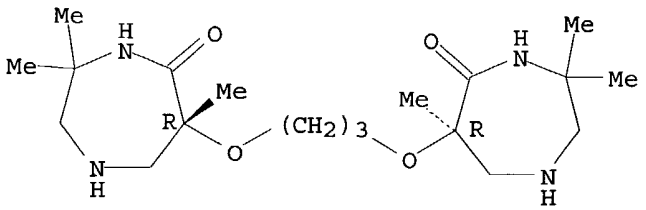
RN 161806-57-1 CAPLUS
CN 5H-1,4-Diazepin-5-one, 6,6'-[1,3-propanediylbis(oxy)]bis[hexahydro-3,3,6-trimethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 161806-58-2 CAPLUS
CN 5H-1,4-Diazepin-5-one, 6,6'-[1,3-propanediylbis(oxy)]bis[hexahydro-3,3,6-trimethyl-, (R*,R*)- (9CI) (CA INDEX NAME)

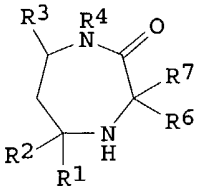
Relative stereochemistry.



L9 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:632300 CAPLUS
DOCUMENT NUMBER: 115:232300
TITLE: Preparation of 1,4-diazacycloheptan-2-ones from alkylated pentane-1,5-diamines
INVENTOR(S): Lai, John Ta Yuan
PATENT ASSIGNEE(S): Goodrich, B. F., Co., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 436901	A2	19910717	EP 1990-124928	19901220
EP 436901	A3	19920415		
EP 436901	B1	19960821		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5034523	A	19910723	US 1990-462153	19900108
AT 141595	E	19960915	AT 1990-124928	19901220
ES 2093628	T3	19970101	ES 1990-124928	19901220
JP 04234855	A2	19920824	JP 1991-56284	19910107
JP 2975139	B2	19991110		
PRIORITY APPLN. INFO.:			US 1990-462153	19900108

OTHER SOURCE(S): MARPAT 115:232300
GI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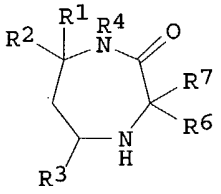
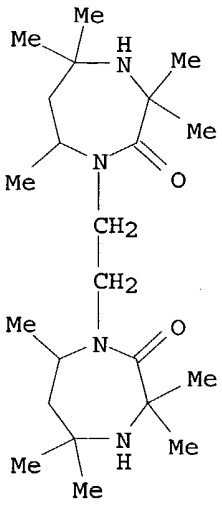

II

AB Title compds. (I and II; R1,R2,R3 = alkyl, aralkyl; R1R2 = cycloalkyl; R4 = alkyl, cycloalkyl, alkylcycloalkyl, aralkyl, R1R2C:CR1CH2; R6,R7 = alkyl) and dimers thereof, were prepared by cyclocondensing a mixture of R1R2(H2N)CCH2CHR3NHR4, R1R2(R4HN)CCH2CHR3NHR4, and R1R2(R4HN)CCH2CHR3NH2 with R6R7CO and CHX3 (X = Cl, Br) in the presence of a base, an organic solvent, and an optional phase transfer catalyst. Thus, Me2(H2N)CCH2CHMeNH2 was heated with Me(CH2)7Cl at 60° overnight to give a mixture of Me2(H2N)CCH2CHMeNH(CH2)7Me (major isomer) and Me2[Me(CH2)7NH]CCH2CHMeNH2. The mixture in CHCl3 was heated to 60° and treated with PhCH2Et3NCl; the mixture was cooled to 10°, Me2CO was added, and 50% aqueous NaOH was dripped in at .apprx.18°. The resulting mixture was stirred 3 h at 10° to give a mixture of I and II [R1 = R2 = R3 = R6 = R7 = Me, R4 = Me(CH2)7].

IT **135841-29-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from bis(pentanediamine) derivative, acetone, and chloroform)

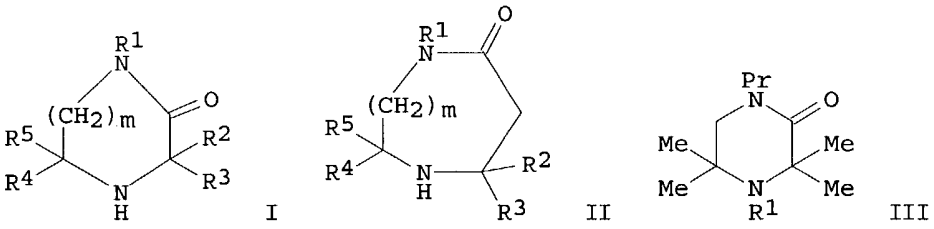
RN 135841-29-1 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,2-ethanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl- (9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:514545 CAPLUS
DOCUMENT NUMBER: 115:114545
TITLE: Process for methylating a hindered nitrogen atom in a polysubstituted diazacycloalkan-2-one
INVENTOR(S): Lai, John T.
PATENT ASSIGNEE(S): Goodrich, B. F., Co., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5013836	A	19910507	US 1989-439408	19891121

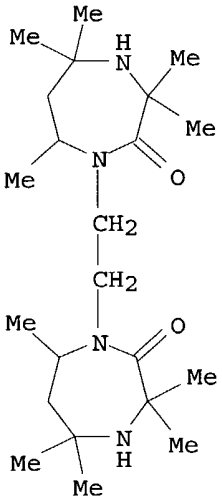
PRIORITY APPLN. INFO.: US 1989-439408 19891121
OTHER SOURCE(S): MARPAT 115:114545
GI



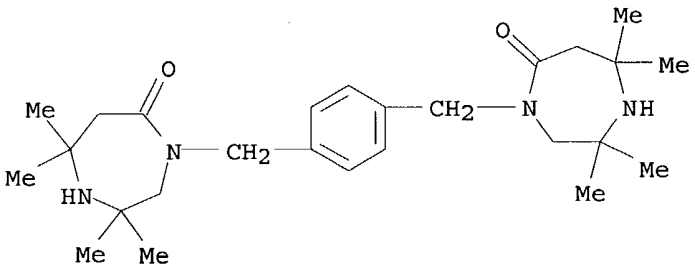
AB Diazacycloalkan-2-ones [I, II; m = 1-6 including fused bicyclodiaza compds.; R1 = H, C1-24 alkyl, C1-12 aminoalkyl, imionalkyl, hydroxyalkyl, acyl, etc.; R2-R5 = C1-24 alkyl, R2R3, R4R5 may form C5-7 cycloalkyl] were methylated on the N atoms with 1-1.5 mol equiv HCHO (per N atom) and sufficient HCO2H. Piperazine III (R1 = H) was heated with 1.4 mol equiv HCHO in 4 mol equiv HCO2H at 80-95° to give 99 mol.% III (R2 = Me). Comparable results were obtained when only 1.9 mol equiv HCO2H was used.

IT **135841-29-1P 135841-32-6P 135868-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

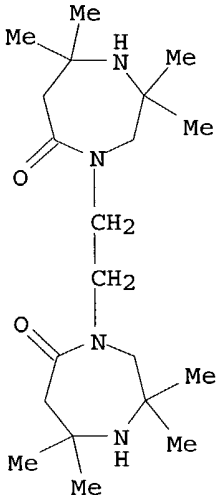
RN 135841-29-1 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,2-ethanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl- (9CI) (CA INDEX NAME)



RN 135841-32-6 CAPLUS
CN 5H-1,4-Diazepin-5-one, 4,4'-[1,4-phenylenebis(methylene)]bis[hexahydro-2,2,7,7-tetramethyl- (9CI) (CA INDEX NAME)



RN 135868-30-3 CAPLUS
CN 5H-1,4-Diazepin-5-one, 4,4'-(1,2-ethanediyl)bis[hexahydro-2,2,7,7-tetramethyl- (9CI) (CA INDEX NAME)

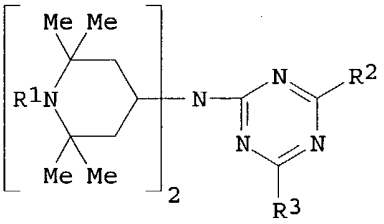


L9 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN

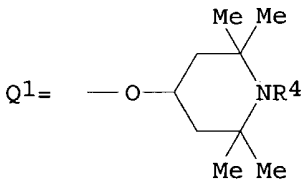
ACCESSION NUMBER: 1989:214171 CAPLUS
DOCUMENT NUMBER: 110:214171
TITLE: Preparation of 2-[bis(piperidinyl)amino]triazines as polymer heat, light, and oxidation stabilizers
INVENTOR(S): Cantatore, Giuseppe; Borzatta, Valerio; Masina, Franca
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Brit. UK Pat. Appl., 44 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

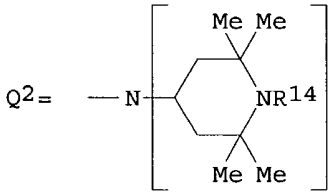
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2202536	A1	19880928	GB 1988-6715	19880321
GB 2202536	B2	19910501		
US 4948889	A	19900814	US 1988-167808	19880314
DE 3809628	A1	19881027	DE 1988-3809628	19880322
CA 1300618	A1	19920512	CA 1988-562062	19880322
FR 2612925	A1	19880930	FR 1988-3789	19880323
FR 2612925	B1	19920703		
NL 8800732	A	19881017	NL 1988-732	19880323
BR 8801314	A	19881101	BR 1988-1314	19880323
JP 01070485	A2	19890315	JP 1988-69203	19880323
BE 1003781	A4	19920616	BE 1988-329	19880323
PRIORITY APPLN. INFO.:			IT 1987-19814	19870324

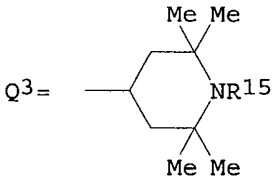
OTHER SOURCE(S): CASREACT 110:214171; MARPAT 110:214171
GI



I







AB The title compds. [I; R1, R4, R14, R15 = H, NO, CH2CN, O.ovrhdot., C1-8 alkyl, allyl, PhCH2, hydroxyalkyl, C1-8 acyl; R2 = SR5, NR6R7, NR8X1NR10R11, O(X2O)nR13, Q1; R3 = R2, Q2; R5 = C1-8 alkyl, (substituted) C5-12 cycloalkyl, Ph, phenylalkyl; R6, R8 = H, C1-18 alkyl, (substituted) C5-12 cycloalkyl, phenylalkyl, tetrahydrofuryl, Q3; R7 = (substituted) C7-12 phenylalkyl, tetrahydrofuryl, etc.; NR6R7 = 7-membered heterocyclyl; R10, R11 = C1-18 alkyl; NR10R11 = 5-7 membered heterocyclyl; R13 = H,

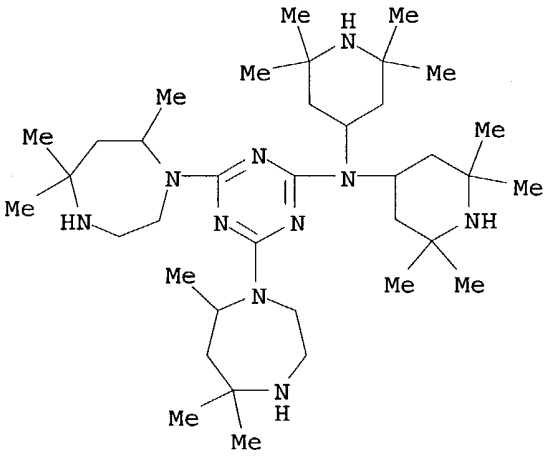
C1-18 alkyl, (substituted) Ph, Q3; X1 = C2-10 alkylene; X2 = C2-4 alkylene; n = 2-20] useful as light, heat, and oxidation stabilizers for polymers, were prepared Thus, bis(2,2,6,6-tetramethyl-4-piperidyl)amine was added to cyanuric chloride in xylene at 0°. The mixture was stirred for 3 h at room temperature and powdered NaOH was added. Stirring was continued for 2 h to give I (R1 = H, R2, R3 = Cl). The latter was refluxed 4 h with 2,2,6,6-tetramethyl-4-octylaminopiperidine in xylene, powdered NaOH was added, and the mixture was refluxed for a further 16 h to give I (R1 = H, R2, R3 = 2,2,6,6-tetramethyl-4-octylamino). I and Ca stearate at 1 g each/1000 g polypropylene increased time to embrittlement at 135° from 250 h to 1280-1540 h.

IT **120702-79-6P**

RL: PREP (Preparation)
(preparation of, as light stabilizer and antioxidant for polymers)

RN 120702-79-6 CAPLUS

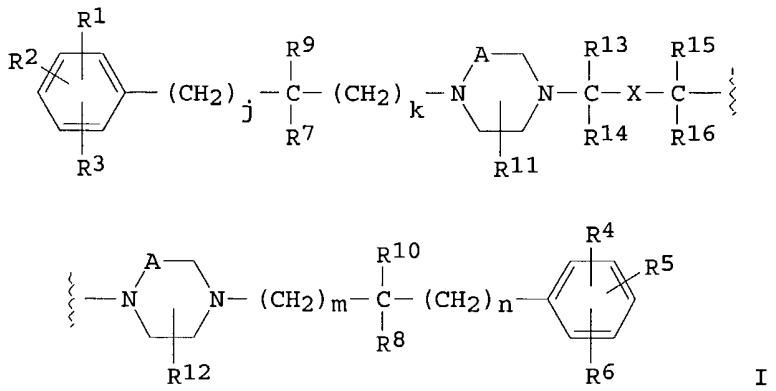
CN 1,3,5-Triazin-2-amine, 4,6-bis(hexahydro-5,5,7-trimethyl-1H-1,4-diazepin-1-yl)-N,N-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:570459 CAPLUS
DOCUMENT NUMBER: 109:170459
TITLE: Preparation and formulation of bis(piperazinyl or homopiperazinyl)alkanes as antiallergic and antiinflammatory agents
INVENTOR(S): Devlin, John P.; McNeil, Daniel W.; Keirns, James J.; Barsumian, Edward L.
PATENT ASSIGNEE(S): Boehringer Ingelheim Ltd., USA
SOURCE: U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 477,008, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4725597	A	19880216	US 1984-653982	19840924
FI 8401081	A	19840922	FI 1984-1081	19840319
FI 80269	B	19900131		
FI 80269	C	19900510		
DK 8401601	A	19840922	DK 1984-1601	19840320
DK 166022	B	19930301		
DK 166022	C	19930802		
NO 8401078	A	19840924	NO 1984-1078	19840320
NO 162907	B	19891127		
NO 162907	C	19900307		
AU 8425891	A1	19840927	AU 1984-25891	19840320
AU 568122	B2	19871217		
HU 34016	O	19850128	HU 1984-1102	19840320
HU 191599	B	19870330		
DD 219642	A5	19850313	DD 1984-261058	19840320
ES 530762	A1	19850616	ES 1984-530762	19840320
ZA 8402037	A	19851224	ZA 1984-2037	19840320
CA 1218652	A1	19870303	CA 1984-450025	19840320
PL 141127	B1	19870630	PL 1984-246774	19840320
IL 71291	A1	19871130	IL 1984-71291	19840320
CS 254971	B2	19880215	CS 1984-1960	19840320
JP 59176265	A2	19841005	JP 1984-54152	19840321
ES 535438	A1	19850916	ES 1984-535438	19840827
ES 535439	A1	19850916	ES 1984-535439	19840827
ES 535440	A1	19850916	ES 1984-535440	19840827
SU 1568887	A3	19900530	SU 1986-4027076	19860312
SU 1574174	A3	19900623	SU 1986-4027087	19860312
CS 254998	B2	19880215	CS 1986-5529	19860721

PRIORITY APPLN. INFO.: US 1983-477008 19830321
CS 1984-1960 19840320
OTHER SOURCE(S): CASREACT 109:170459; MARPAT 109:170459
GI



I

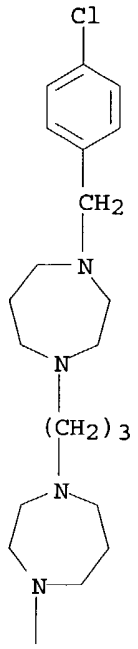
AB The title compds. I [R1, R2, R3, R4, R5, R6 = H, C1-4 alkyl, alkoxy, alkanoyloxy, HO, halo, trihalomethyl, O2N, etc.; R7, R8 = H, Me, HO, HO2C, alkoxycarbonyl, HOCH2, Ph, 4-ClC6H4; R9, R10 = H, Me; j, k, m, n = 0-3; j + k, m + n ≤4; A = CH2, CH2CH2; R7R9 = O, provided k ≠ 0; R8R10 = O, provided m ≠ 0; R11, R12 = H, 1-4 Me substituents on C's of the piperazine ring (A = CH2); R13, R14, R15, R16 = H, Me, etc.] and their pharmacol. acceptable acid addition salts were prepared 1-(4-Chlorobenzyl)piperazine, BrCH2CH2CH2Cl and EtOH were refluxed for 17 h to give an aqueous filtrate which was precipitated with HCl to give 1,3-bis[4-(4-chlorobenzyl)-1-piperazinyl]propane-4HCl (II). In a test determining histamine release from rat peritoneal mast cells, the IC50 of II was 2 μM.

IT **94637-37-3P 94637-38-4P 94637-48-6P 94637-49-7P 94637-50-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory and antiallergic agent)

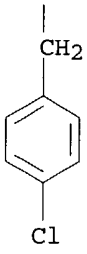
RN 94637-37-3 CAPLUS

CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



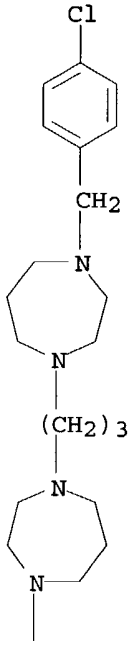
PAGE 2-A



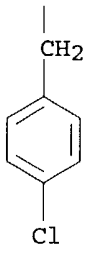
●4 HCl

RN 94637-38-4 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

PAGE 1-A

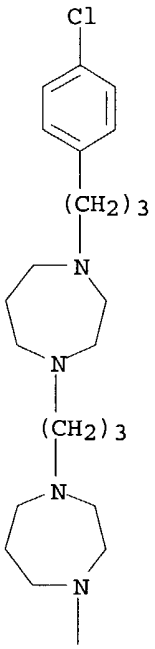


PAGE 2-A

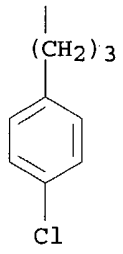


RN 94637-48-6 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[3-(4-chlorophenyl)propyl]hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



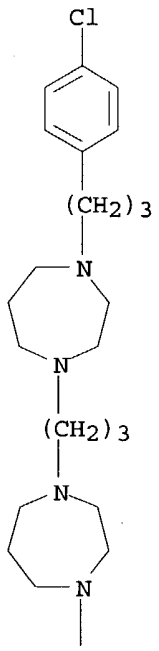
PAGE 2-A



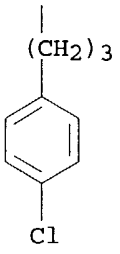
● 4 HCl

RN 94637-49-7 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[3-(4-chlorophenyl)propyl]hexahydro- (9CI) (CA INDEX NAME)

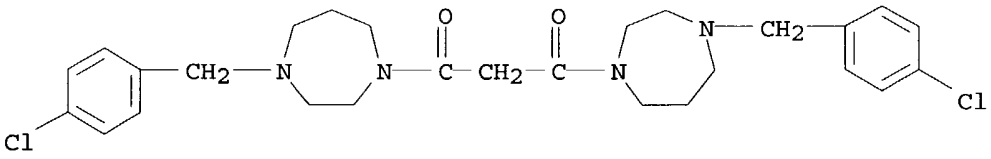
PAGE 1-A



PAGE 2-A

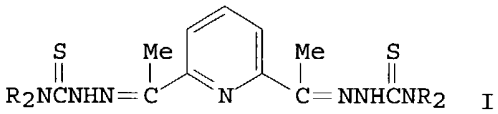


RN 94637-50-0 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-dioxo-1,3-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

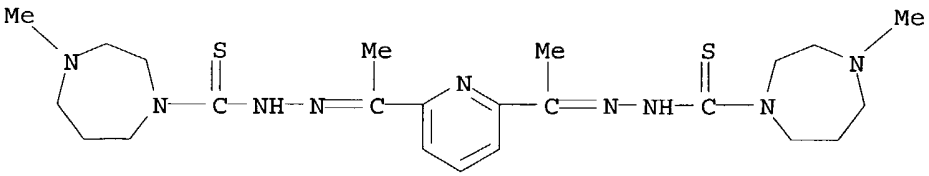
L9 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:563032 CAPLUS
DOCUMENT NUMBER: 109:163032
TITLE: Synthesis, characterization, and antitumor properties of some metal complexes of 2,6-diacetylpyridine bis(N4-azacyclic thiosemicarbazones)
AUTHOR(S): Mohan, M.; Agarawal, Anju; Jha, N. K.
CORPORATE SOURCE: Dep. Chem., NREC Coll., Khurja, India
SOURCE: Journal of Inorganic Biochemistry (1988), 34(1), 41-54
CODEN: JIBIDJ; ISSN: 0162-0134
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

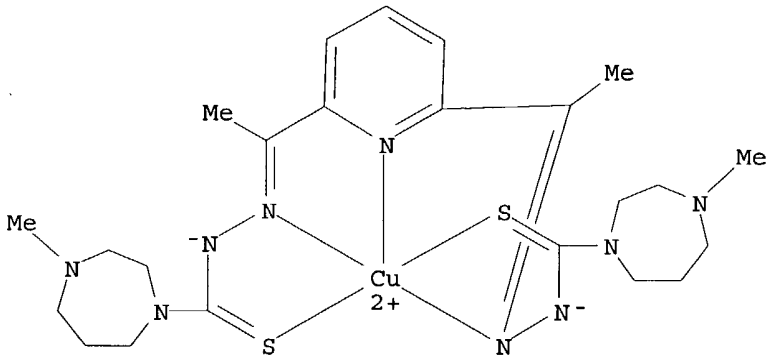
AB Complexes of Mn(II), Fe(III), Fe(II), Co(II), Ni(II), Cu(II), Zn(II) and Pt(II) with 2,6-diacetylpyridine bis(N4-azacyclic thiosemicarbazones) (H2L) (I, NR2 = heterocyclic amines) were prepared and characterized by elemental anal., molar conductance, magnetic moments (300-78 K) and spectral studies. On the basis of these studies, a distorted six-coordinate structure for Fe(L)Cl and a distorted five-coordinate structure for M(L) [M = Mn(II), Fe(II), Co(II), Ni(II), Cu(II), Zn(II), or Pt(II)] are suggested. The ligands undergo deprotonation and appear to coordinate through the thione S, the imine N and pyridyl N. All the ligands and metal complexes were screened for their antitumor activity against P 388 lymphocytic leukemia test system in mice, and it was found that a few of them possess significant activity at the dosages used.

IT **116918-56-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and neoplasm-inhibiting activity and complexation with metals of)
RN 116918-56-0 CAPLUS
CN 1H-1,4-Diazepine-1-carbothioic acid, hexahydro-4-methyl-, (2,6-pyridinediyldiethylidyne)dihydrazide (9CI) (CA INDEX NAME)

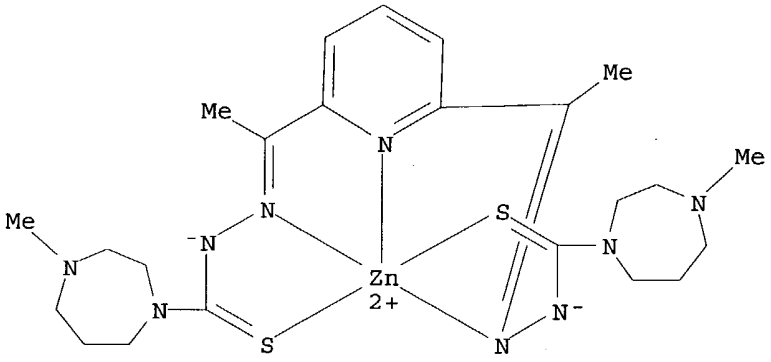


IT **116931-90-9P 116932-23-1P 116932-37-7P**
116938-41-1P 116959-76-3P 116960-10-2P
116960-60-2P 116960-92-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm-inhibiting activity of)
RN 116931-90-9 CAPLUS
CN Copper, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid

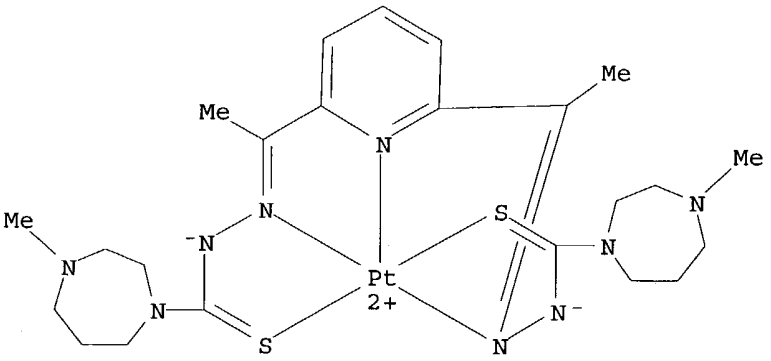
(2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)



RN 116932-23-1 CAPLUS
CN Zinc, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid (2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)

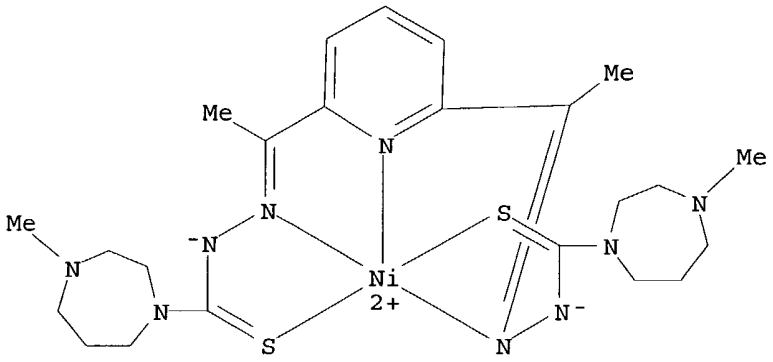


RN 116932-37-7 CAPLUS
CN Platinum, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid (2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)

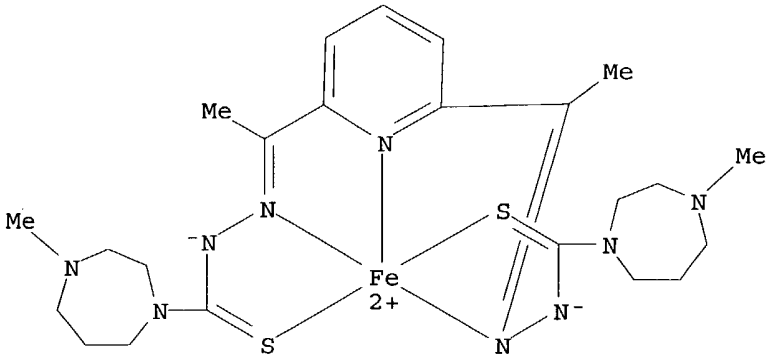


RN 116938-41-1 CAPLUS
CN Nickel, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid

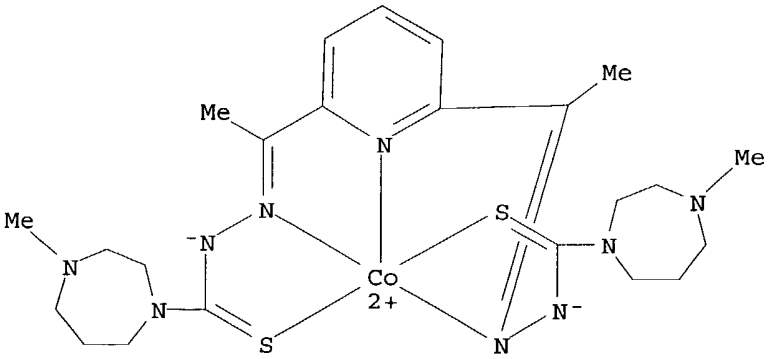
(2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)



RN 116959-76-3 CAPLUS
CN Iron, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid (2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)

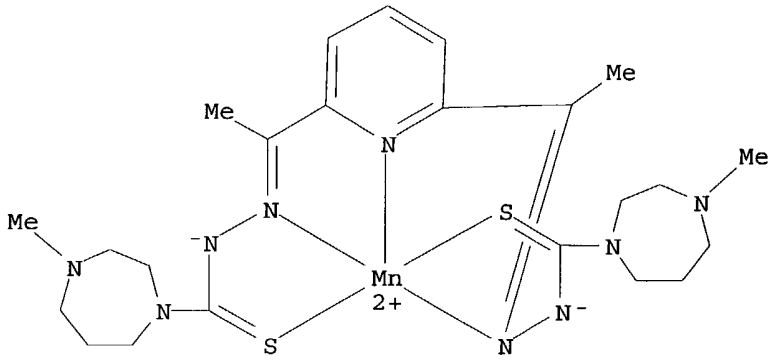


RN 116960-10-2 CAPLUS
CN Cobalt, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid (2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)

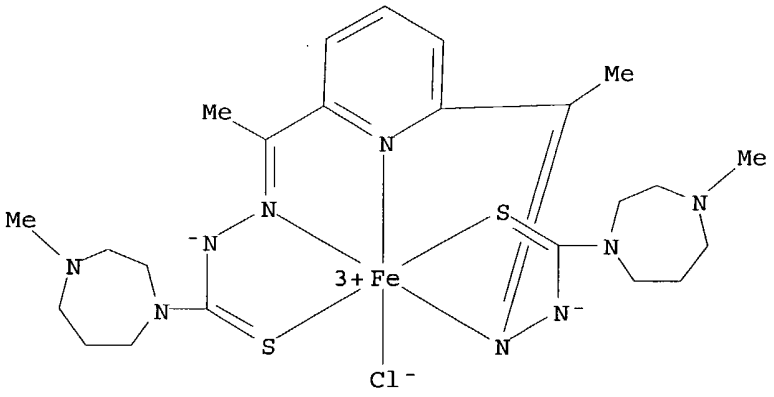


RN 116960-60-2 CAPLUS
CN Manganese, [[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid

(2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)



RN 116960-92-0 CAPLUS
CN Iron, chloro[[hexahydro-4-methyl-1H-1,4-diazepine-1-carbothioic acid (2,6-pyridinediyldiethylidyne)dihydrazidato](2-)]- (9CI) (CA INDEX NAME)



L9 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:224372 CAPLUS
DOCUMENT NUMBER: 106:224372
TITLE: Silver halide color photographic material
INVENTOR(S): Kimura, Toshihiko; Kaneko, Yutaka; Kadokura, Kenji
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61260246	A2	19861118	JP 1985-103483	19850514

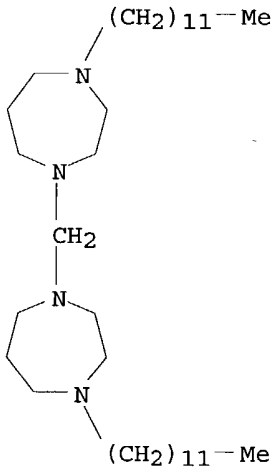
PRIORITY APPLN. INFO.: JP 1985-103483 19850514

GI For diagram(s), see printed CA Issue.

AB A Ag halide color photog. material contains ≥1 pyrazolo-[1,5-a]-benzimidazole-type magenta coupler and I [R1 = aliphatic group, cycloalkyl, aryl; Y = atoms required to form 5-7-membered ring with N]. The color image is stable toward heat and light, and the material does not show stain formation.

IT **103913-28-6**
RL: USES (Uses)
(magenta dye images stabilizer, color photog. film containing)

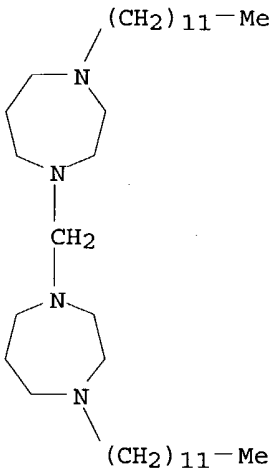
RN 103913-28-6 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-methylenebis[4-dodecylhexahydro- (9CI) (CA INDEX NAME)



L9 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:505720 CAPLUS
DOCUMENT NUMBER: 105:105720
TITLE: Silver halide color photographic material
INVENTOR(S): Kaneko, Yutaka; Kimura, Toshihiko; Kadokura, Kenji
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 144 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 178794	A1	19860423	EP 1985-306621	19850917
EP 178794	B1	19900207		
R: DE, FR, GB, IT, NL				
JP 61072246	A2	19860414	JP 1984-194494	19840917
JP 03071701	B4	19911114		
JP 61189539	A2	19860823	JP 1985-31297	19850218
JP 04017415	B4	19920325		
US 4639415	A	19870127	US 1985-776442	19850916
PRIORITY APPLN. INFO.:			JP 1984-194494	19840917
			JP 1985-31297	19850218

OTHER SOURCE(S): CASREACT 105:105720
GI For diagram(s), see printed CA Issue.
AB A Ag halide color photog. material is described which contains a magenta coupler I and a compound II (A = group of nonmetals forming a N-containing heterocyclic ring; X = halogen or substituent capable of leaving upon reaction with the oxidized product of a color developing agent; R = H or a substituent; R1 = aliphatic, cycloalkyl, or aryl group; B = group of nonmetal atoms formed 5-7 membered N-containing heterocyclic ring, provided that ≥2 heteroatoms among the group members, including N, are not adjacent to each other. Thus, an emulsion of Ag chlorobromide was mixed with a dispersion of magenta dye image stabilizer III and magenta coupler IV and laminated on a paper support. The sample was exposed and processed. The processed sample was placed under Xe lamp for 8 days or in hot and humid atmospheric for 14 day to examine the stability of the image. The residual dye d. was 81 and 101% in the 1st and the 2nd tests resp.
IT **103913-28-6**
RL: USES (Uses)
(photog. material containing magenta coupler and dye image stabilizer from)
RN 103913-28-6 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-methylenebis[4-dodecylhexahydro- (9CI) (CA INDEX NAME)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CH2
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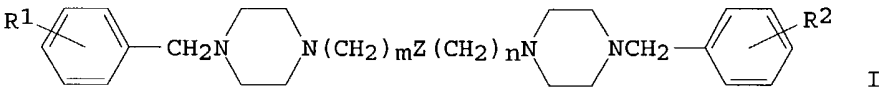
(CH2)11−Me

L9 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:497501 CAPLUS
DOCUMENT NUMBER: 105:97501
TITLE: Bis(benzylpiperazinyl) sulfur compounds
INVENTOR(S): Devlin, John P.; Hargrave, Karl D.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 182349	A1	19860528	EP 1985-114690	19851119
EP 182349	B1	19890426		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4692448	A	19870908	US 1984-673474	19841120
DK 8505343	A	19860521	DK 1985-5343	19851119
DK 168070	B1	19940131		
ZA 8508843	A	19870729	ZA 1985-8843	19851119
CA 1245653	A1	19881129	CA 1985-495643	19851119
AT 42549	E	19890515	AT 1985-114690	19851119
AU 8550268	A1	19860529	AU 1985-50268	19851120
AU 586442	B2	19890713		
JP 61186374	A2	19860820	JP 1985-260977	19851120
JP 06041458	B4	19940601		

PRIORITY APPLN. INFO.: US 1984-673474 19841120
EP 1985-114690 19851119
OTHER SOURCE(S): CASREACT 105:97501
GI



I

AB The title compds. (I; R1, R2 = H, alkyl, halo, cyano, MeS; Z = S, S2, SO, SO2; m, n = 2, 3) were prepared as antihistaminics. Thus, HSCH2CH2OH was oxidized with H2O2 and the product heated in concentrated HCl to give (ClCH2CH2)2S2. This (80 g) was heated 15 min at 80° with 1-[(4-chlorophenyl)methyl]piperazine in Me2SO containing KOH to give, after treatment with ethanolic HCl, 161.3 g I.4HCl (R1 = R2 = 4-Cl, Z = S2, m = n = 2) (II). II inhibited IgE-mediated release of histamine from sensitized leukocytes with an IC50 of 2.4 μM. A topical solution was prepared containing 0.4 g II, 1.0 g glycerin, and phosphate buffer (pH 5.5) to 100 mL.

IT **103770-04-3P 103770-05-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihistaminic)
RN 103770-04-3 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(dithiodi-3,1-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

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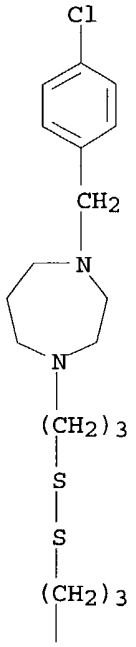

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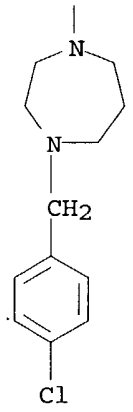

RN 103770-05-4 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(dithiodi-3,1-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

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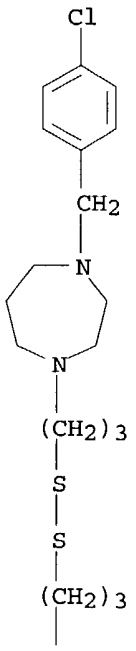

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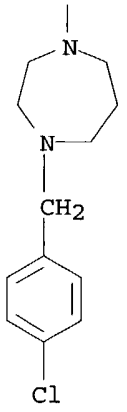
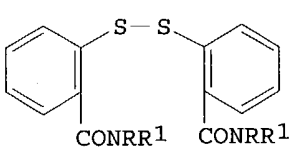


● 4 HCl

L9 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:595873 CAPLUS
DOCUMENT NUMBER: 103:195873
TITLE: Synthesis and antibacterial activity of 2,2'-dithiobis(benzamide) derivatives against Mycobacterium species
AUTHOR(S): Okachi, Ryo; Niino, Hideki; Kitaura, Kozo; Mineura, Kazuyuki; Nakamizo, Yoshinobu; Murayama, Yo; Ono, Takeshi; Nakamizo, Akio
CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 1188, Japan
SOURCE: Journal of Medicinal Chemistry (1985), 28(12), 1772-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:195873
GI



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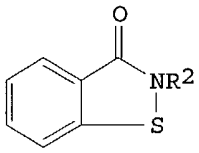
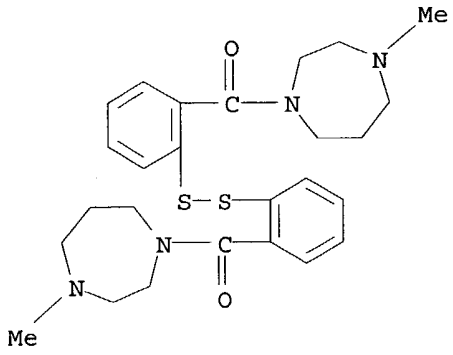


II

AB Title compds. I [R = R1 = alkyl; R = H, R1 = (un)substituted alkyl, aromatic, heteroarom.] (.apprx.40 compds.) were prepared, generally from the acid chloride (2-ClCOC6H4S)2 and the amine HNRR1. I (same R's; also, NRR1 = heterocyclic) (.apprx.70 compds.) and benzoisothiazolones II (R2 = CH2CH2OH, CH2CHMeOH) and the S,S-dioxide of II (R2 = CH2OH) were tested for in vitro antibacterial activity against Mycobacterium tuberculosis H37Rv including resistant strains. MICs (min. inhibitory concentration) of these compds. against atypical mycobacteria, Mycobacterium kansasii and Mycobacterium intracellulare were also examined Structure-activity relationships were found in (acyloxy)alkyl esters I [R = H; R1 = (CH2)mO2C(CH2)nMe; m = 2, n = 3; m = 3, n = 0-18], with potency increasing with n, up to n = 8 (m = 3). The most potent compound, I [R = H, R1 = (CH2)3O2C(CH2)8Me] was superior or at least equivalent to streptomycin, kanamycin, and ethanbutol. All the compds. showed no cross-resistance between the current antitubercular agents.

IT **98051-89-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of, against Mycobacteria)

RN 98051-89-9 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[dithiobis(2,1-phenylenecarbonyl)]bis[hexahydro-4-methyl- (9CI) (CA INDEX NAME)


Me
O
N
C
N
S
S
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C
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Me

L9 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:78912 CAPLUS
DOCUMENT NUMBER: 102:78912
TITLE: Bis(piperazinyl- or -homopiperazinyl)alkanes
INVENTOR(S): Devlin, John P.; McNeil, Daniel W.; Keirns, James J.; Barsumian, Edward L.
PATENT ASSIGNEE(S): Boehringer Ingelheim Ltd., USA
SOURCE: Eur. Pat. Appl., 53 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 122488	A1	19841024	EP 1984-102979	19840317
EP 122488	B1	19890607		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 43843	E	19890615	AT 1984-102979	19840317
FI 8401081	A	19840922	FI 1984-1081	19840319
FI 80269	B	19900131		
FI 80269	C	19900510		
DK 8401601	A	19840922	DK 1984-1601	19840320
DK 166022	B	19930301		
DK 166022	C	19930802		
NO 8401078	A	19840924	NO 1984-1078	19840320
NO 162907	B	19891127		
NO 162907	C	19900307		
AU 8425891	A1	19840927	AU 1984-25891	19840320
AU 568122	B2	19871217		
HU 34016	O	19850128	HU 1984-1102	19840320
HU 191599	B	19870330		
DD 219642	A5	19850313	DD 1984-261058	19840320
ES 530762	A1	19850616	ES 1984-530762	19840320
ZA 8402037	A	19851224	ZA 1984-2037	19840320
CA 1218652	A1	19870303	CA 1984-450025	19840320
PL 141127	B1	19870630	PL 1984-246774	19840320
IL 71291	A1	19871130	IL 1984-71291	19840320
CS 254971	B2	19880215	CS 1984-1960	19840320
JP 59176265	A2	19841005	JP 1984-54152	19840321
ES 535438	A1	19850916	ES 1984-535438	19840827
ES 535439	A1	19850916	ES 1984-535439	19840827
ES 535440	A1	19850916	ES 1984-535440	19840827
SU 1568887	A3	19900530	SU 1986-4027076	19860312
SU 1574174	A3	19900623	SU 1986-4027087	19860312
CS 254998	B2	19880215	CS 1986-5529	19860721

PRIORITY APPLN. INFO.: US 1983-477008 19830321
EP 1984-102979 19840317
CS 1984-1960 19840320

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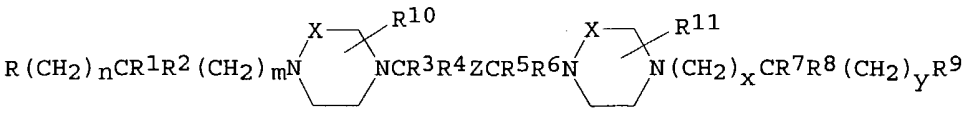

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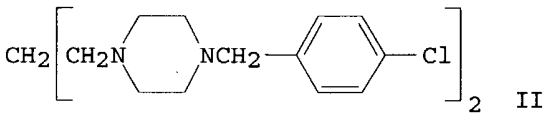


II

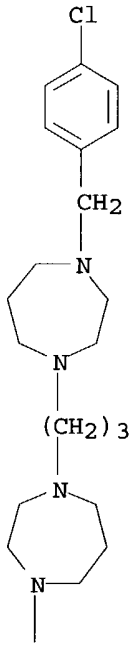
AB The title compds. [I; R,R9 = (un)substituted Ph; R1, R8 = H, alkoxycarbonyl, Me, HOCH2, CO2H, OH, Ph, 4-ClC6H4; R2-R7 = H, Me; R10, R11 = 0-4 Me groups; R1R2, R3R4,R5R6, R7R8 = O; X = CH2, CH2CH2; Z = C1-2 alkylene, hydroxyalkylene; n, m, x, y = 0-3; n + m, x + y ≤ 4] were prepared Thus, 1-[(4-chlorophenyl)methyl]piperazine was refluxed 17 h in EtOH with Br(CH2)3Cl and the product in Et2O treated with gaseous HCl to give 39% II.4HCl (III). III inhibited mediator release from a rat mast cell preparation with an IC50 of 3 μM.

IT **94637-37-3P 94637-38-4P 94637-48-6P**
94637-49-7P 94637-50-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergy-antiinflammatory agent)

RN 94637-37-3 CAPLUS

CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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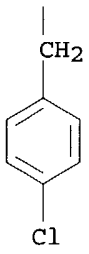
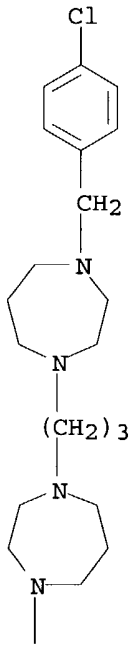


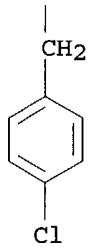
●4 HCl

RN 94637-38-4 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

PAGE 1-A

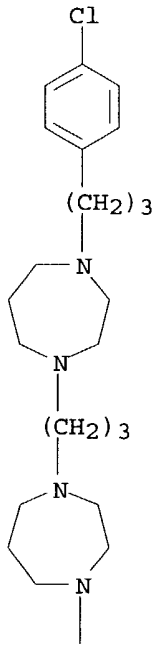


PAGE 2-A



RN 94637-48-6 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[3-(4-chlorophenyl)propyl]hexahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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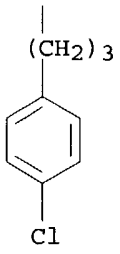
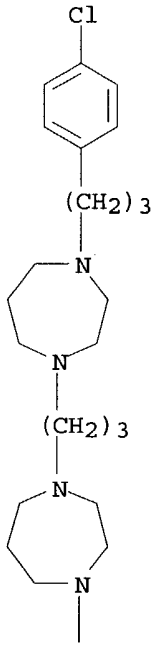


●4 HCl

RN 94637-49-7 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[4-[3-(4-chlorophenyl)propyl]hexahydro- (9CI) (CA INDEX NAME)

PAGE 1-A



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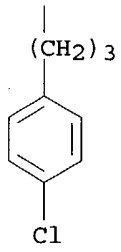
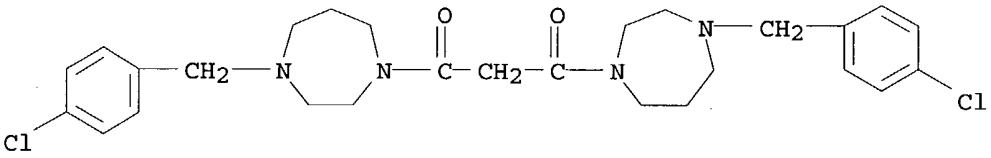


RN 94637-50-0 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-dioxo-1,3-propanediyl)bis[4-[(4-chlorophenyl)methyl]hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L9 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:582023 CAPLUS
DOCUMENT NUMBER: 97:182023
TITLE: Bis(aminomethyl)anthraquinone derivatives, their compositions and their use
INVENTOR(S): Winkelmann, Erhardt; Raether, Wolfgang; Rolly, Heinrich
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 52853	A1	19820602	EP 1981-109738	19811117
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3044072	A1	19820715	DE 1980-3044072	19801124
DE 3117984	A1	19830105	DE 1981-3117984	19810507
ES 507234	A1	19830201	ES 1981-507234	19811118
FI 8103709	A	19820525	FI 1981-3709	19811120
JP 57118540	A2	19820723	JP 1981-185574	19811120
NO 8103974	A	19820525	NO 1981-3974	19811123
DK 8105195	A	19820525	DK 1981-5195	19811123
AU 8177766	A1	19820603	AU 1981-77766	19811123
ZA 8108107	A	19821027	ZA 1981-8107	19811123
HU 27672	O	19831028	HU 1981-3493	19811123
ES 516225	A1	19830601	ES 1982-516225	19821005
PRIORITY APPLN. INFO.:			DE 1980-3044072	19801124
			DE 1981-3117984	19810507

OTHER SOURCE(S): CASREACT 97:182023
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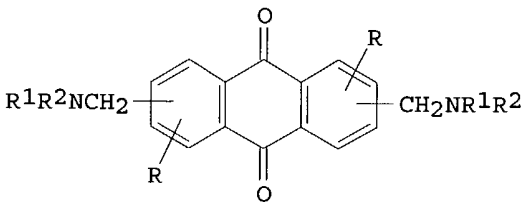


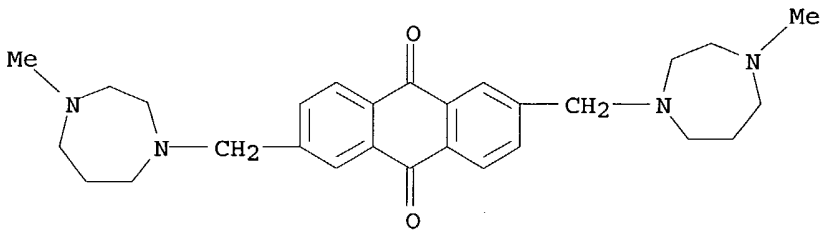
I

AB Bis(aminomethyl)anthraquinones I (R = H, OH; R1, R2 = H, C1-4-alkyl; R1R2N = 5-, 6- or 7-membered heterocyclyl optionally containing O, S or other N atoms in the ring and optionally alkylated), which have bactericidal, virucidal, and cancer-inhibiting activity (no data), were prepared by the reaction of halomethyl, acyloxymethyl or tosyloxymethyl analogs with amines, by reduction of anthraquinonedicarboxamides, and by reaction of dihydroxyanthrahydroquinone with HCHO and amines followed by oxidation Thus, refluxing 2,6-bis(bromomethyl)-9,10-anthraquinone with 1-methylpiperazine in EtOH for 1.5 h gave 76% bis[(4-methyl-1-piperazinyl)methyl]-9,10-anthraquinone.

IT **83121-63-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83121-63-5 CAPLUS

CN 9,10-Anthracenedione, 2,6-bis[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]- (9CI) (CA INDEX NAME)



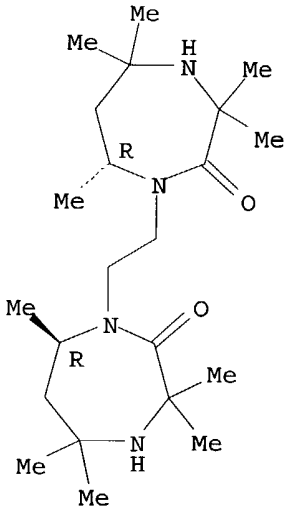
L9 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:65643 CAPLUS
DOCUMENT NUMBER: 94:65643
TITLE: Synthesis of hexahydro-3,3,5,5,7-pentaalkyl-2H-1,4-diazepin-2-ones from 1,3-diamines and ketones
AUTHOR(S): Son, Pyong-Nae; Lai, John T.
CORPORATE SOURCE: Res. Dev. Cent., BFGoodrich Co., Brecksville, OH, 44141, USA
SOURCE: Journal of Organic Chemistry (1981), 46(2), 323-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:65643

AB Mono- and bis(hexahydro-3,3,5,5,7-pentaalkyl-2H-1,4-diazepin-2-ones) are prepared by a method in which the key step is the final one in which a 1,3-diamine is treated with a ketone in the presence of NaOH, CHCl3, and a phase-transfer catalyst. Bis(hexahydro-3,3,5,5,7-pentaalkyl-2H-1,4-diazepin-2-ones) are isolated as a mixture of diastereomers. Diastereomers of 1,1'-(1,2-ethanediyl)bis(hexahydro-3,3,5,5,7-pentamethyl-2H-1,4-diazepin-2-one) can be readily separated by a fractional recrystn.; the diastereomeric distributions can be measured by 13C-NMR.

IT **75813-08-0P 75813-09-1P 75813-10-4P**
75813-11-5P 75813-12-6P 75813-14-8P
75813-15-9P 75813-16-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

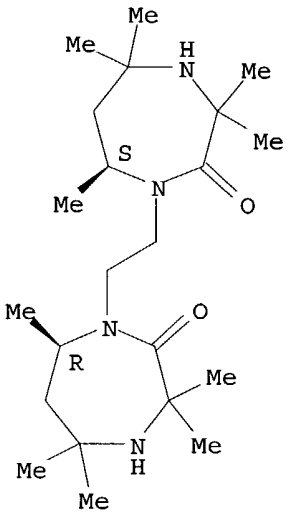
RN 75813-08-0 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,2-ethanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

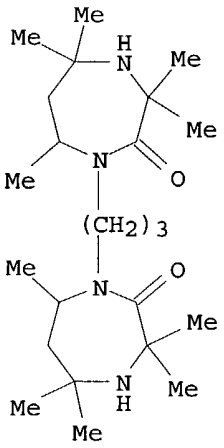


RN 75813-09-1 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,2-ethanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

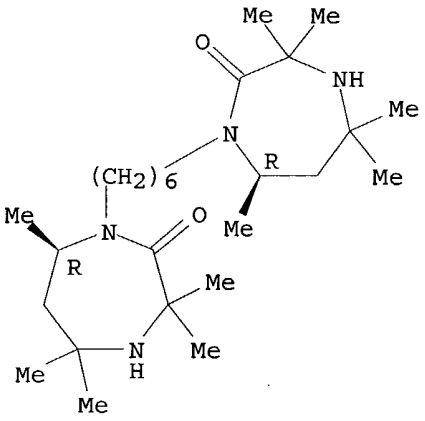


RN 75813-10-4 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,3-propanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl- (9CI) (CA INDEX NAME)



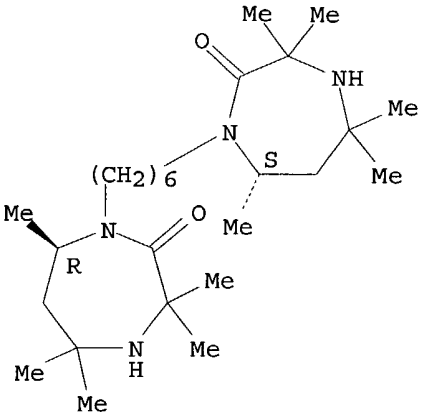
RN 75813-11-5 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,6-hexanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

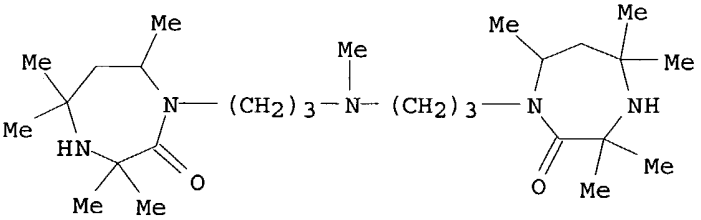


RN 75813-12-6 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-(1,6-hexanediyl)bis[hexahydro-3,3,5,5,7-pentamethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

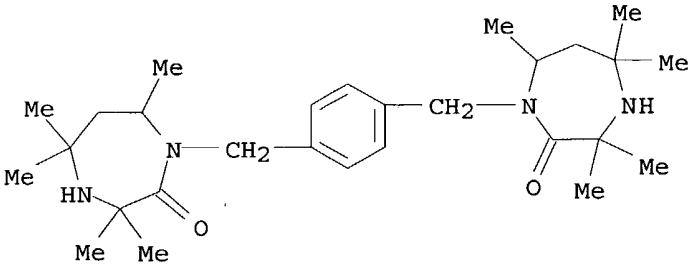
Relative stereochemistry.



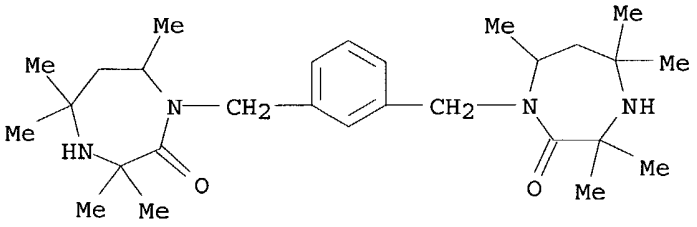
RN 75813-14-8 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-[(methylimino)di-3,1-propanediyl]bis[hexahydro-3,3,5,5,7-pentamethyl- (9CI) (CA INDEX NAME)



RN 75813-15-9 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-[1,4-phenylenebis(methylene)]bis[hexahydro-3,3,5,5,7-pentamethyl- (9CI) (CA INDEX NAME)



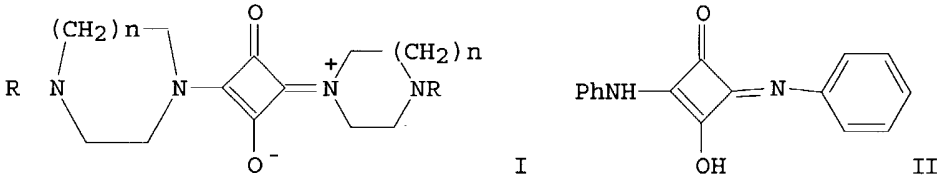
RN 75813-16-0 CAPLUS
CN 2H-1,4-Diazepin-2-one, 1,1'-[1,3-phenylenebis(methylene)]bis[hexahydro-3,3,5,5,7-pentamethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:6938 CAPLUS
DOCUMENT NUMBER: 88:6938
TITLE: Piperazinyl cyclobutenones
INVENTOR(S): Krimmel, Carl Peter
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

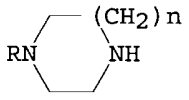
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4051136	A	19770927	US 1976-674519	19760407
US 4138566	A	19790206	US 1977-810347	19770627

PRIORITY APPLN. INFO.: US 1976-674519 19760407
GI



I

II



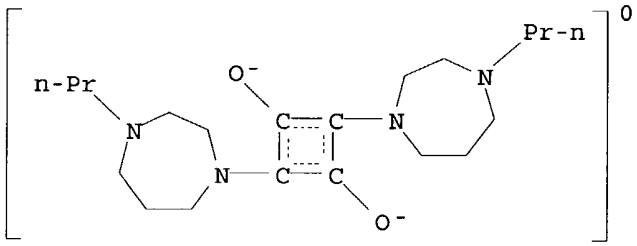
III

AB The antiviral (no data) (oxocyclobutylidene)piperaziniums I (R = Et, Pr, Me2CH, Bu; n = 1; R = Pr, n = 2) were prepared by heating a mixture of cyclobutenone II and alkylpiperazines III at 190-220°. Thus, 2.6 g II and 3.8 g III (R = Pr, n = 1) were heated at 193° for 20 min under N to give I (R = Pr, n = 1).

IT **64850-23-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 64850-23-3 CAPLUS

CN Cyclobutenediylium, 1,3-bis(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)-2,4-dihydroxy-, bis(inner salt) (9CI) (CA INDEX NAME)



L9 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:453845 CAPLUS
DOCUMENT NUMBER: 87:53845
TITLE: Antimicrobial quaternary ammonium copolymers
INVENTOR(S): Green, Harold A.; Merianos, John J.; Petrocci, Alfonso N.
PATENT ASSIGNEE(S): Millmaster Onyx Corp., USA
SOURCE: U.S., 4 pp. Division of U.S. 3,928,323.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4025617	A	19770524	US 1975-593735	19750707
US 3874870	A	19750401	US 1973-425931	19731218
US 3928323	A	19751223	US 1974-511759	19741003
PRIORITY APPLN. INFO.:			US 1973-425931	19731218
			US 1974-511759	19741003

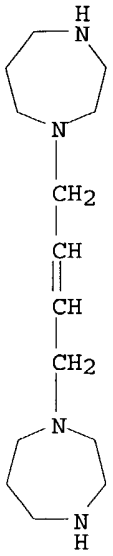
AB The title copolymers were prepared by copolymg. a mixture of ≥2 difunctional tertiary amines and a molar quantity of 1,4-dichloro-2-butene (I) that is equal to the molar sum of the difunctional amines in the mixture Thus, 12.5 g I was added dropwise to a mixture of 1,4-bis(dimethylamino)-2-butene (II) 12.8, N,N'-dimethylpiperazine (III) 1.14, and H2O 23 g at 60-70°, and the solution was heated on a steam bath for .apprx.1 h to yield 50% active I-II-III copolymer [58461-89-5]. The copolymer had minimum inhibitory level against Escherichia coli, Pseudomonas aeruginosa, Staphyllococcus aureus and Streptococcus faecalis of 100, 100, 50, and 50 ppm, resp. Also prepared were 9 other quaternary ammonium bacteriacides.

IT **63404-11-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)
(bactericides, manufacture of)

RN 63404-11-5 CAPLUS
CN 1,4-Diazabicyclo[2.2.2]octane, polymer with 1,1'-(2-butene-1,4-diyl)bis[hexahydro-1H-1,4-diazepine] and 1,4-dichloro-2-butene (9CI) (CA INDEX NAME)

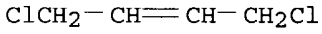
CM 1

CRN 63404-10-4
CMF C14 H28 N4



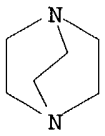
CM 2

CRN 764-41-0
CMF C4 H6 Cl2



CM 3

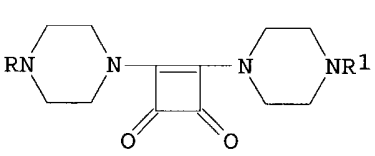
CRN 280-57-9
CMF C6 H12 N2



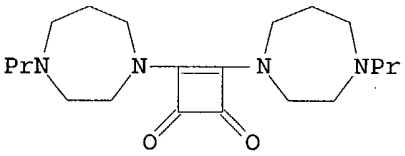
L8 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:439549 CAPLUS
DOCUMENT NUMBER: 87:39549
TITLE: 3,4-Bispiperazinyl-3-cyclobutene-1,2-diones
INVENTOR(S): Krimmel, Carl Peter
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2646304	A1	19770421	DE 1976-2646304	19761014
US 4036828	A	19770719	US 1976-704882	19760713
FR 2327772	A1	19770513	FR 1976-30933	19761014
FR 2327772	B1	19781215		
AU 7618675	A1	19780420	AU 1976-18675	19761014
NL 7611425	A	19770419	NL 1976-11425	19761015
JP 52051377	A2	19770425	JP 1976-123747	19761015
PRIORITY APPLN. INFO.:			US 1975-622808	19751016
			US 1976-704882	19760713

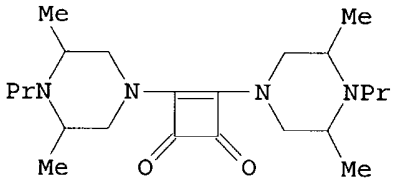
GI



I



II

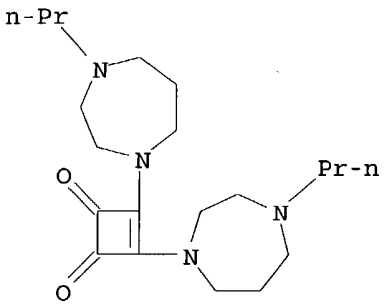


III

AB I (R, R1 = Me, Me; Et, Et; Pr, Pr; Bu, Bu; decyl, decyl; pentadecyl, pentadecyl; Me, Et; Et, Pr; Me, Bu), II and III, useful as virucides, are prepared by reaction of dimethoxycyclobutenedione (IV) with the appropriate piperazines or homopiperazines. Thus, reaction of IV with 1-methylpiperazine in EtOH gives after 5 h reflux under N I (R, R1 = Me, Me).

IT **63207-00-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

RN 63207-00-1 CAPLUS
CN 3-Cyclobutene-1,2-dione, 3,4-bis(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)


n-Pr
N
N
O
O
N
N
Pr-n

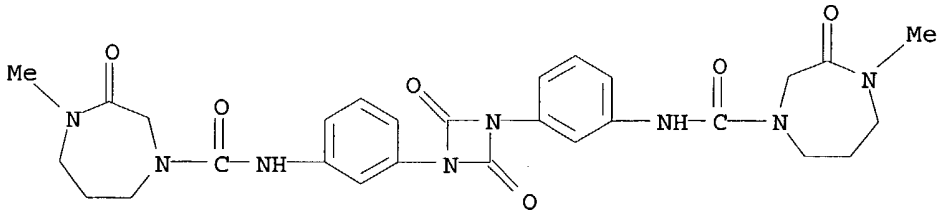
L8 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:544945 CAPLUS
DOCUMENT NUMBER: 85:144945
TITLE: Organic compounds with uretidione groups and terminally blocked isocyanate groups
INVENTOR(S): Mueller, Peter; Wagner, Kuno; Muller, Richard
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2502934	A1	19760729	DE 1975-2502934	19750124
DE 2502934	C2	19880811		
CA 1056841	A1	19790619	CA 1975-243050	19751231
GB 1488631	A	19771012	GB 1976-2295	19760121
SE 7600656	A	19760726	SE 1976-656	19760122
BE 837855	A1	19760723	BE 1976-163755	19760123
FR 2298566	A1	19760820	FR 1976-1865	19760123
FR 2298566	B1	19800222		
ES 444565	A1	19770901	ES 1976-444565	19760123
JP 51098220	A2	19760830	JP 1976-6390	19760124
JP 60029705	B4	19850712		

PRIORITY APPLN. INFO.: DE 1975-2502934 19750124

AB Title compds., containing no free NCO groups, were prepared by reaction of 2,4-tolylene diisocyanate dimer [26747-90-0] or hexamethylene diisocyanate dimer (I) [23501-81-7] with an NCO-blocking agent or with blocking agent and alkanediol. When activated by heat the compds. are useful in the preparation of polyurethane coatings and foams. Thus, addition under N of a mixture of 396 parts (4 OH-equivalent) 1,4-bis(2-hydroxyethoxy)benzene [104-38-1] and 452 parts (4 moles ε-caprolactam [105-60-2] to 1512 parts (8 NCO-equivalent) I at 100-20°, addition of stannous octanoate after 15 min, and stirring 30-60 min at 130-40° gave a product (II) m. 120-3° and containing 4.75% uretidione and 7.1% blocked NCO groups (16.6% total latent NCO). A melt (80-100°) of 2.52 g II and 10 g adipic acid-ethylene glycol polyester was poured on a glass plate and stoved 30 min at 180° to give a clear, stretchable, tough, tack-free film.

IT **60553-95-9**
RL: USES (Uses)
(intermediates, for polyurethane manufacture)
RN 60553-95-9 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N,N'-[(2,4-dioxo-1,3-diazetidinediyl)bis(methyl-3,1-phenylene)]bis[hexahydro-4-methyl-3-oxo-(9CI) (CA INDEX NAME)

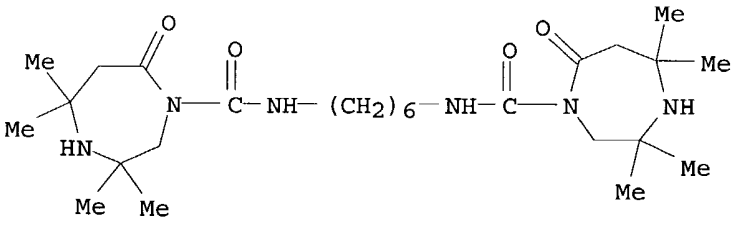


2 (D1—Me)

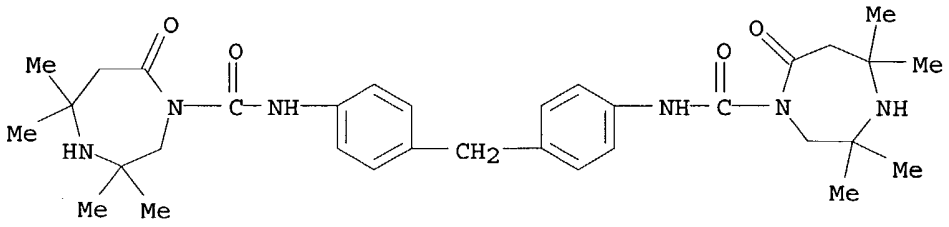
L9 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:429136 CAPLUS
DOCUMENT NUMBER: 83:29136
TITLE: N-Carbamoyl- and N-(alkoxycarbonyl)diazacycloheptanes
INVENTOR(S): Chalmers, Alexander M.
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2435170	A1	19750213	DE 1974-2435170	19740722
GB 1424430	A	19760211	GB 1973-36340	19740717
US 3962255	A	19760608	US 1974-492087	19740726
BE 818240	A1	19750130	BE 1974-147071	19740730
FR 2245643	A1	19750425	FR 1974-26424	19740730
NL 7410317	A	19750204	NL 1974-10317	19740731
JP 50049348	A2	19750502	JP 1974-87956	19740731

PRIORITY APPLN. INFO.: GB 1973-36340 19730731
GI For diagram(s), see printed CA Issue.
AB Title compds. [I(R = alkyl- or arylcarbamoyl or alkyl- or aryloxycarbonyl, R1 = H, O, or Me) or II(R = (CH2)6 or p-C6H4CH2C6H4-p)], useful as light stabilizers for polymers, were manufactured by treatment of I (R = H, R1 = H, O, or Me) with the appropriate isocyanate, diisocyanate, or chloroformate. Thus, I(R = R1 = H) [34392-00-2] was treated with MeNCO [624-83-9] in C6H6 in the presence of 1,4-diazabicyclo[2.2.2]octane to give I(R = MeNHCO, R1 = H) (III) [55488-29-4]. Polypropylene (IV) [9003-07-0] containing III and n-octadecyl β-(4-hydroxy-3,5-di-tert-butylphenyl)propionate lost 50% of its elongation at break 8.2 times slower in the Xeno test 150 than did IV not containing III.
IT **55488-39-6P 55488-41-0P**
RL: PREP (Preparation)
(preparation of)
RN 55488-39-6 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N,N'-1,6-hexanediylbis[hexahydro-3,3,5,5-tetramethyl-7-oxo- (9CI) (CA INDEX NAME)



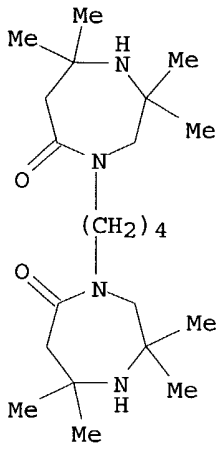
RN 55488-41-0 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N,N'-(methylenedi-4,1-phenylene)bis[hexahydro-3,3,5,5-tetramethyl-7-oxo- (9CI) (CA INDEX NAME)



L9 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:429091 CAPLUS
DOCUMENT NUMBER: 83:29091
TITLE: 4-Alkyl-1,4-diaza-5-oxocycloheptanes and their 1-oxy derivatives as light stabilizers for polymers
INVENTOR(S): Rasberger, Michael
PATENT ASSIGNEE(S): Ciba-Geigy A.-G.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2428877	A1	19750123	DE 1974-2428877	19740614
CH 579118	A	19760831	CH 1973-9186	19730622
US 3966711	A	19760629	US 1974-476366	19740605
NL 7408297	A	19741224	NL 1974-8297	19740620
JP 50088143	A2	19750715	JP 1974-70745	19740620
BE 816696	A1	19741223	BE 1974-145738	19740621
FR 2234297	A1	19750117	FR 1974-21682	19740621
DK 7403366	A	19750421	DK 1974-3366	19740621
GB 1453985	A	19761027	GB 1974-27607	19740621
IT 1015303	A	19770510	IT 1974-24294	19740621
PRIORITY APPLN. INFO.:			CH 1973-9186	19730622
			CH 1974-6180	19740507

GI For diagram(s), see printed CA Issue.
AB Diazacycloheptanes [I(R = octyl, Bu, PhCH2, octadecyl, or MeO2CCH2, X = H), II, or I(R = octyl, X = O] were useful as light stabilizers for polypropylene (III) [9003-07-0], polystyrene [9003-53-6], or polyethylene [9002-88-4]. Thus, I(R = X = H) [34392-00-2] was treated with NaH in PhMe and then alkylated with a n-octyl bromide [111-83-1]-DMF solution to give I(R = octyl, X = H) (IV) [55488-36-3]. III containing IV and octadecyl β-(3,5-di-tert-butyl-4-hydroxyphenyl)propionate remained undamaged after 6000 hr in the Xeno test 150 compared to 1000 hr for a similar sample not containing IV.
IT **55488-34-1P**
RL: PREP (Preparation)
(preparation of)
RN 55488-34-1 CAPLUS
CN 5H-1,4-Diazepin-5-one, 4,4'-(1,4-butanediyl)bis[hexahydro-2,2,7,7-tetramethyl- (9CI) (CA INDEX NAME)



L9 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:157024 CAPLUS
DOCUMENT NUMBER: 82:157024
TITLE: Basic polyamides and copolyamides
INVENTOR(S): Findeisen, Kurt; Wagner, Kuno; Moller, Friedrich
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

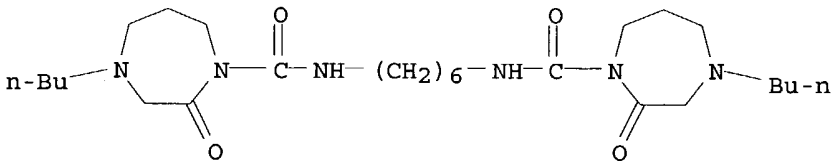
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3830786	A	19740820	US 1972-282101	19720821
PRIORITY APPLN. INFO.:			US 1971-163446	19710716

GI For diagram(s), see printed CA Issue.

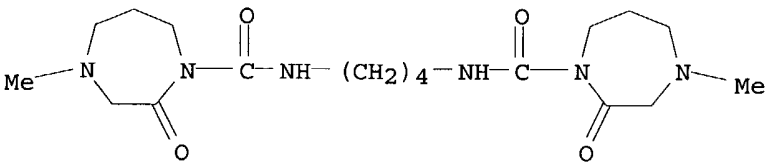
AB Tertiary amine group-containing polyamides were prepared by anionic (co)polymerization of the substituted hexahydro-1,4-diazepin-3- or -5-ones (I, R = C1-18 alkyl, cycloalkyl, aryl, heterocyclic radical, NH2, or Me2N, m = 1,2, m + n = 4) in the presence of alkaline catalysts at 80-200°. Thus, a solution of 750 g N-methyl-N-(2-cyanoethyl)glycine ethyl ester [24286-82-6] in 1 l EtOH was hydrogenated and fractionated to give 75% 1-methylhexahydro-1,4-diazepin-3-one (I, R = Me, m = 1) polymerization [34728-23-9] in the presence of the adducts of hexamethyleneisocyanate with 2 moles caprolactone was rapid and exothermic, and gave a polymer [34728-23-9] with relative viscosity 1.8095. Similarly prepared were 22 copolymers, some of which were useful as storage-stable adhesives for wood, metal, cloth, and especially glass, e.g. safety glass.

IT **55198-07-7 55198-08-8**
RL: CAT (Catalyst use); USES (Uses)
(catalysts, for polymerization of diazepinones)

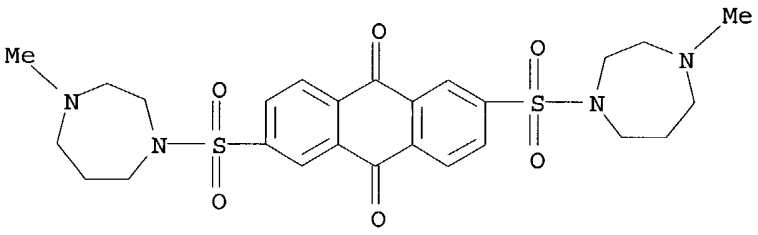
RN 55198-07-7 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N,N'-1,6-hexanediylbis[4-butylhexahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 55198-08-8 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N,N'-1,4-butanediylbis[hexahydro-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



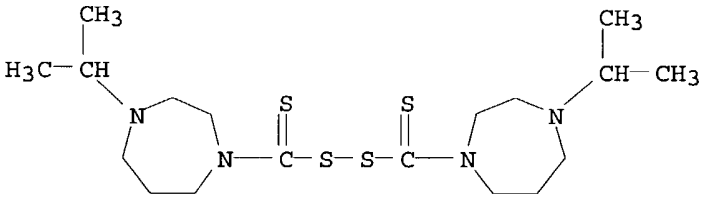
L9 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:106176 CAPLUS
DOCUMENT NUMBER: 82:106176
TITLE: Bis basic-substituted polycyclic aromatic compounds. New class of antiviral agents. 4. Bis basic sulfonamides of anthraquinone
AUTHOR(S): Grisar, J. Martin; Hickey, Kenneth R.; Fleming, Robert W.; Mayer, Gerald D.
CORPORATE SOURCE: Merrell-Natl. Lab. Div., Richardson-Merrell Inc., Cincinnati, OH, USA
SOURCE: Journal of Medicinal Chemistry (1974), 17(8), 890-3
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 82:106176
GI For diagram(s), see printed CA Issue.
AB A series of 48 title compds., prepared by the reaction of the appropriate acid chlorides and diamines, was evaluated in mice against encephalomyocarditis (EMC) virus infection. N,N1-bis[3-(dibutylamino)propyl]-9,10-dihydro-9,10-dioxo-2,6-anthracenedisulfonamide-2HCl (I-2HCl) [35992-14-4] was the most active compound, and was more effective than tilorone-HCl [27591-69-1]. I also was effective against another EMC strain (Mengo), myxoviruses, and a DNA virus. Structure-activity relations were discussed.
IT **53033-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and virucidal activity of)
RN 53033-30-0 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[(9,10-dihydro-9,10-dioxo-2,6-anthracenediyl)bis(sulfonyl)]bis[hexahydro-4-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:433583 CAPLUS
DOCUMENT NUMBER: 73:33583
TITLE: Dopamine β-hydroxylase inhibitors. Preparation and the dopamine β-hydroxylase inhibitory activity of some compounds related to dithiocarbamic acid and thiuramdisulfide
AUTHOR(S): Florvall, Lennart; Corrodi, Hans
CORPORATE SOURCE: Res. Develop. Labs., AB Astra, Sodertalje, Swed.
SOURCE: Acta Pharmaceutica Suecica (1970), 7(1), 7-22
CODEN: APSXAS; ISSN: 0001-6675
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Sixty-one compds. were synthesized and screened for inhibition of mouse brain dopamine β-hydroxylase, as judged by a decrease in brain noradrenaline content and a concomitant small increase or no change in dopamine levels. The compds. included primarily 4-alkyl-1-piperazinedithiocarboxylic acids and bis(4-alkyl-1-piperazinylthiocarbonyl) disulfides and also some related N,N'-bis(dialkylamino)thiuram disulfides and bis(4-alkyl-1-homopiperazinylthiocarbonyl) disulfides. The disulfides were always more potent inhibitors than the corresponding dithiocarbamates. Bis(4-methyl-1-homopiperazinylthiocarbonyl) disulfide was the most potent inhibitor; 2, 4, and 8 hr after the i.p. injection of 50 mg/kg into mice, their brains contained 28, 18, and 29%, resp., of normal noradrenaline levels. Some other compds. were also tested in rats, and m.ps. are given for all the compds.

IT **29053-37-0**
RL: BIOL (Biological study)
(dopamine hydroxylase inhibition by)
RN 29053-37-0 CAPLUS
CN Disulfide, bis[(hexahydro-4-isopropyl-1H-1,4-diazepin-1-yl)thiocarbonyl] (8CI) (CA INDEX NAME)



L9 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:90514 CAPLUS
DOCUMENT NUMBER: 72:90514
TITLE: Dopamine β-hydroxylase inhibiting dithiocarbamates derived from piperazine and homologs
INVENTOR(S): Carlsson, Arvid E.; Corrodi, Hans R.; Florvall, Gosta L.; Ross, Svante Bertil
PATENT ASSIGNEE(S): Aktiebolag Astra
SOURCE: S. African, 27 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6807552		19690430		
DE 1810664			DE	
FR 1601400			FR	
FR 8323			FR	
GB 1197389			GB	
US 3644623		19720000	US	
US 3740430		19730000	US	
PRIORITY APPLN. INFO.:			SE	19671214

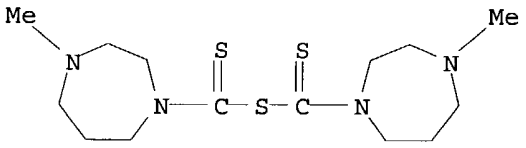
GI For diagram(s), see printed CA Issue.
AB The title compds. are useful as dopamine β-hydroxylase inhibitors. To a solution of 85 g 2-methylpiperazine (I) in 700 ml H2O containing Benzyl Orange indicator was added concentrated HCl until acid then NaOAc until the color was yellow. The pH was maintained by addition of aqueous NaOAc while addg. 78 ml ClCO2Me dropwise. After extraction with Et2O the aqueous layer was basified with K2CO3 andextd. to give 95.5 g 1-methoxycarbonyl-3-methylpiperazine (II) b10105-7°. Refluxing a mixture of 30.4 g II, 15.6 ml EtI, 27.6 g K2CO3, and 350 ml EtOH 17 hr gave 30 g 4-ethyl-1-methoxycarbonyl-3-methylpiperazine (III), b10 110-11°. 1-Ethyl-2-methylpiperazine (IV) was obtained (15.3 g) by refluxing a mixture of 30 g III and 150 ml concentrated HCl 3 days. Treatment of an ice-cold solution of 10 ml CS2 and 150 ml Et2O with15.3 g IV in 100 ml Et2O and stirring 0.5 hr gave 18.1 g V (R =Et, R1 = Me), m. 175-6° (H2O). Similarly were prepared 4-allyl-1-ethoxycarbonyl-3-methylpiperazine, b10 132-4°, and 1-allyl-2-methylpiperazine (VI), b15 78-80°. To a solution of 14.5 g VI in 52 ml 2N NaOH was added 6.3 ml CS2 and the mixture stirred 0.5 hr and evaporated to give 15.9 g V (R = CH2:-CHCH2, R = Me). Na salt, m. 91-4° (EtOH-Et2O). Refluxing a mixture of 50 g I, 100 ml Me2CHBr, 70 g K2CO3, 20 g KI, and 350 ml EtOH 2 days gave 30.8 g 1-isopropyl-3-methylpiperazine, b10 66-9°, converted into 20.6 g V (R = Me2CH, R1 = Me), m. 128-30°, when pure. Similarly were prepared 1-secbutyl-3-methylpiperazine, b10 77-9°; V(R = MeEtCH, R1 = Me), m. 85-7°; 1-allyl-3-methylpiperazine, b10 71-5°; VI (R = CH2:CHCH2), m. 88-9°; 1-isopropyl-3,5-dimethylpiperazine, b10 65-68°; VII (R = Me2CH).1.5H2O. Na salt, m. 136-8°; 1-methoxycarbonyl-3-methyl-4-isopropylpiperazine, b10 131-3°; 1-isopropyl-2-methylpiperazine, b10 70-1°; V (R = Me2CH, R1 = Me).2H2O.Na salt, m. 133-5°; 1-pentyl-3-methylpiperazine, b10 99-100°; 1-ethoxy-3-methyl-4-ethoxycarbonylpiperazine, b15 117-20°; V (R = C5H11, R1 = Me), m. 112-14°. Treatment of 21.6 g V (R = Me, R1 = H). Na salt in 25 ml 5N NaOH and 25 ml H2O with

14.5 g NH4 persulfate in 50 ml H2O gave 12.5 g disulfide VIII (n = 1) m. 142-3°. To 58 g ice-cooled 1-ethoxycarbonyl-3,5-dimethylpiperazine, b1 85-7°, was added 30 ml HCO2H, 28 ml 35% CH2O, and 4 ml H2O and the solution kept 15 hr at 130° to give 1-ethoxycarbonyl-3,4,5-trimethylpiperazine-HCl which on refluxing with HCl gave 1,2,6-trimethylpiperazine, b. 174-6°, and hence IX, m. 166-9° (EtOH-Et2O). By similar methods 1-methylhomopiperazine was converted into dithiocarboxylic acid X, m. 201-2° (sublimes), which was oxidized to VIII (n = 2), m. 85-6° (petroleum ether-C6H6). Other dithiocarbamic acids prepared were V (R = CH:CHCH2, R1 = H), m. 175°; VI (R = Me), m. 170°; 3,4,6-trimethylpiperazine-1-dithiocarboxylic acid, m. 160-2°; XI (R = Et), m. 113.5-5.0°; XI (R = Me2CH), m. 132-3.5°. The compds. lowered the noradrenaline content of the mouse brain and caused sedation.

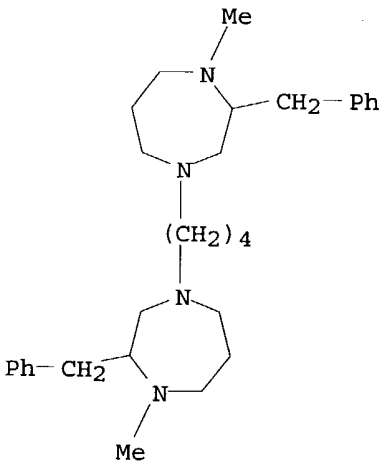
IT **26865-05-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26865-05-4 CAPLUS

CN 1H-1,4-Diazepine-1-carbodithioic acid, hexahydro-4-methyl-, anhydrosulfide (8CI) (CA INDEX NAME)

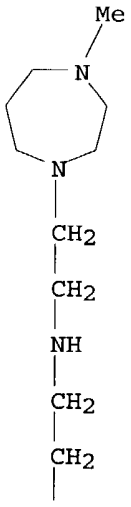


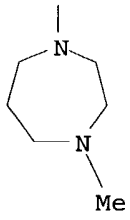
L8 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:87443 CAPLUS
DOCUMENT NUMBER: 68:87443
TITLE: Homaline, a new type of alkaloid isolated from an Homalium African (Flacourtiacea)
AUTHOR(S): Pais, Mary; Rattle, Georges; Sarfati, Roger; Jarreau, Francois X.
CORPORATE SOURCE: C. N. R. S., Essonnl, Fr.
SOURCE: Comptes Rendus des Seances de l'Academie des Sciences, Serie C: Sciences Chimiques (1968), 266(1), 37-40
CODEN: CHDCAQ; ISSN: 0567-6541
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The reactions of homaline (C30H42O2N4) (I), m. 134° [α]D -34° (CHCl3), are studied and it is found that II is not the structure of I. IIa are prepared II-2HCl is also prepared; mass spectral, N.M.R., and ir data for I are given. I is treated with LiAlH4 in tetrahydrofuran (THF) to give C30H46N4 (III); also prepared is III dipicrate, m. 140°, [α]D 15° (MeOH). Acid hydrolysis of I gives trans-cinnamic acid. I is treated with MeI to give a mixture of I-MeI (IV) and I-2MeI (V). Hofmann elimination of IV gives a methine base (C31H44O2N4), [α]D -20° (CHCl3); V gives a methine base (C32H46O2N4) (VI); also prepared is VI-2HCl, m. 231°, λmaximum 220 mμ (log ε 4.40) and 281 mμ (log ε 4.54). Hofmann degradation of VI-2MeI and reduction (Pd/C) give C28H40O2N4 [(PhCH2CH2CO)NPr(CH2)4NPr(COCH2CH2Ph)] (VII). VII is treated with Li/EtNH2 to give C10H24N2[PrNH(CH2)4NHPr], dipicrate m. 196° (alc.). Methyl N-methyl-L-alaninate is condensed with N-carbobenzoxy-β-alanine and the product is used to prepare 1-methyl-2-benzyl-1,4-diazepin-3,7-dione, m. 134°; 1-methyl-2-benzyl-1,4-diazepin, 2HCl salt m. 228°, and IIa (R = O) (VIII). VIII is treated with LiAlH4 in THF to give IIa (R = H2) (IX). IX and the reduction product of I are not identical.
IT **18110-94-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18110-94-6 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-tetramethylenebis[3-benzylhexahydro-4-methyl- (8CI) (CA INDEX NAME)



L9 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1963:73295 CAPLUS
DOCUMENT NUMBER: 58:73295
ORIGINAL REFERENCE NO.: 58:12540c
TITLE: Sympathetic nervous system blocking agents. Derivatives of guanidine and related compounds
AUTHOR(S): Short, James H.; Biermacher, Ursula; Dunnigan, Daniel A.; Leth, Thomas D.
CORPORATE SOURCE: Abbott Lab., Chicago
SOURCE: Journal of Medicinal Chemistry (1963), 6, 275-83
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A series of 84 derivs. of guanidine, including 2-amino-2-imidazolines (I), 2-amino-1,4,5,6-tetrahydropyrimidines (II), nitroguanidines, and aminoguanidines, was prepared by standard methods. These compds. were investigated for their ability to block the sympathetic nervous system, but without blocking the parasympathetic nervous system. Pharmacology and structure-activity relationships are discussed.
IT **93314-89-7**, 1H-1,4-Diazepine, 1,1'-(iminodiethylene)bis[hexahydro-4-methyl- **97014-58-9**, 1H-1,4-Diazepine, 1,1'-[iminobis(trimethylene)]bis[hexahydro-4-methyl-
(preparation of)
RN 93314-89-7 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(iminodiethylene)bis[hexahydro-4-methyl- (7CI) (CA INDEX NAME)

PAGE 1-A





RN 97014-58-9 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-[iminobis(trimethylene)]bis[hexahydro-4-methyl-(7CI) (CA INDEX NAME)